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=> file registry
FILE 'REGISTRY' ENTERED AT 14:48:38 ON 12 OCT 2007
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   11 OCT 2007  HIGHEST RN 950420-07-2
DICTIONARY FILE UPDATES:  11 OCT 2007  HIGHEST RN 950420-07-2

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

  Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading L5.str
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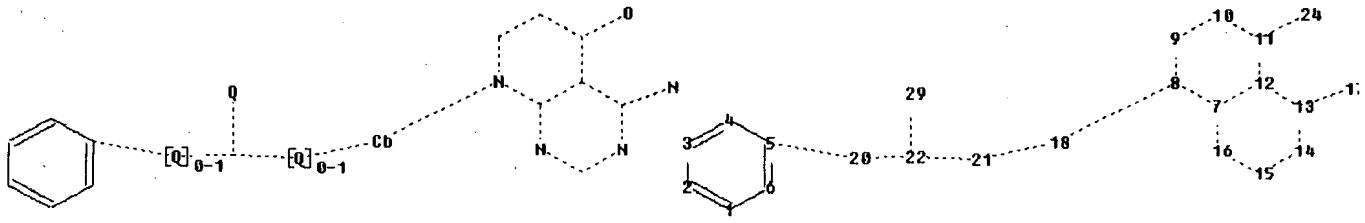


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chain nodes :
17  18  20  21  22  24  29
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15  16
chain bonds :
5-20  8-18  11-24  13-17  18-21  20-22  21-22  22-29
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  7-16  8-9  9-10  10-11  11-12  12-13  13-
14
14-15  15-16
exact/norm bonds :
5-20  7-8  7-12  7-16  8-9  8-18  9-10  10-11  11-12  11-24  12-13  13-14  13-17
14-15  15-16  18-21  20-22  21-22  22-29
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


Connectivity :
22:3 E exact RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:CLASS  18:Atom  20:CLASS
21:CLASS  22:CLASS
```

24:CLASS 29:CLASS
Generic attributes :
18:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

Element Count :
Node 18: Limited
C,C6

=> file zcaplus
FILE 'ZCAPLUS' ENTERED AT 14:48:41 ON 12 OCT 2007
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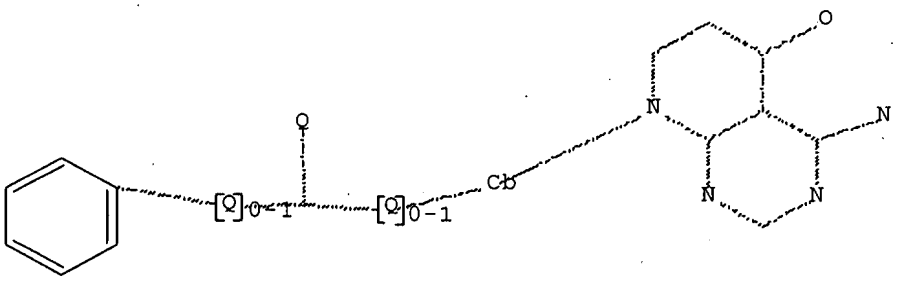
FILE COVERS 1907 - 12 Oct 2007 VOL 147 ISS 17
FILE LAST UPDATED: 11 Oct 2007 (20071011/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L42
L5 STR



Structure attributes must be viewed using STN Express query preparation.

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L8             6 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L7
L13           83 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  HOELZEMANN G?/AU
L14           13 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  CRASSIER H?/AU
L15          200 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  ACKERMANN K?/AU
L16           31 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  STAEHLE W?/AU
L17          286 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  JONCZYK A?/AU
L18           52 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  RAUTENBERG W?/AU
L19           21 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  MITJANS F?/AU
L20           17 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  ROSELL E?/AU OR ROSELL
                 VIVES?/AU
L21           21 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  ADAN J?/AU
L22          248 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  SOLER M?/AU OR SOLER RIERA?/AU

L23           39 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L13 AND (L14 OR L15 OR L16 OR
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L24           10 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L14 AND (L15 OR L16 OR L17 OR
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L26           16 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L16 AND (L17 OR L18 OR L19 OR
                 L20 OR L21 OR L22)
L27           15 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L17 AND (L18 OR L19 OR L20 OR
                 L21 OR L22)
L28            5 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L18 AND (L19 OR L20 OR L21 OR
                 L22)
L29           10 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L19 AND (L20 OR L21 OR L22)
L30            9 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L20 AND (L21 OR L22)
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L32           13 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L23 AND (L24 OR L25 OR L26 OR
                 L27 OR L28 OR L29 OR L30 OR L31)
L33            8 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L24 AND (L25 OR L26 OR L27 OR
                 L28 OR L29 OR L30 OR L31)
L34            4 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L25 AND (L26 OR L27 OR L28 OR
                 L29 OR L30 OR L31)
L35            8 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L26 AND (L27 OR L28 OR L29 OR
                 L30 OR L31)
L36            5 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L27 AND (L28 OR L29 OR L30 OR
                 L31)
L37            5 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L28 AND (L29 OR L30 OR L31)
L38            6 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L29 AND (L30 OR L31)
L39            4 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L30 AND L31
L40           18 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  (L32 OR L33 OR L34 OR L35 OR
                 L36 OR L37 OR L38 OR L39)
L41            2 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L8 AND (L13 OR L14 OR L15 OR
                 L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22)
L42           16 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L40 NOT L41


=> d stat que L41
L5                STR
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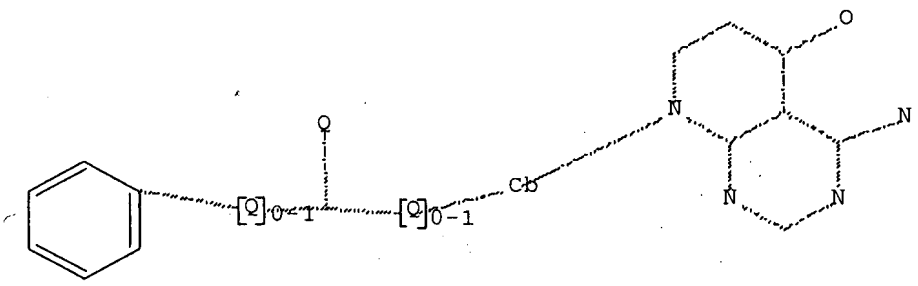

Structure attributes must be viewed using STN Express query preparation.

L7	66	SEA	FILE=REGISTRY	SSS FUL	L5
L8	6	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	L7
L13	83	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	HOELZEMANN G?/AU
L14	13	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	CRASSIER H?/AU
L15	200	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	ACKERMANN K?/AU
L16	31	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	STAEHLE W?/AU
L17	286	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	JONCZYK A?/AU
L18	52	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	RAUTENBERG W?/AU
L19	21	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	MITJANS F?/AU
L20	17	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	ROSELL E?/AU OR ROSELL VIVES?/AU
L21	21	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	ADAN J?/AU
L22	248	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	SOLER M?/AU OR SOLER RIERA?/AU
L41	2	SEA	FILE=ZCAPLUS	ABB=ON PLU=ON	L8 AND (L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22)

=> file marpat
FILE 'MARPAT' ENTERED AT 14:49:02 ON 12 OCT 2007
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FILE CONTENT: 1961-PRESENT VOL 147 ISS 14 (20071005/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

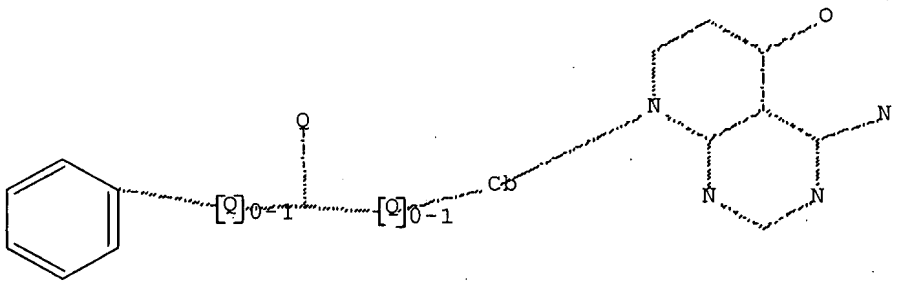
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2007197781	23	AUG 2007
DE	102006038325	16	AUG 2007
EP	1820789	22	AUG 2007
JP	2007213924	23	AUG 2007
WO	2007098716	07	SEP 2007
GB	2435041	15	AUG 2007
FR	2897532	24	AUG 2007
RU	2304584	20	AUG 2007
CA	2579188	17	AUG 2007

Expanded G-group definition display now available.

=> d stat que L43

L5 STR



Structure attributes must be viewed using STN Express query preparation.

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L14	13	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	CRASSIER H?/AU	
L15	200	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	ACKERMANN K?/AU	
L16	31	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	STAEHLE W?/AU	
L17	286	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	JONCZYK A?/AU	
L18	52	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	RAUTENBERG W?/AU	
L19	21	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	MITJANS F?/AU	
L20	17	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	ROSELL E?/AU OR ROSELL VIVES?/AU	
L21	21	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	ADAN J?/AU	
L22	248	SEA FILE=ZCAPLUS ABB=ON	PLU=ON	SOLER M?/AU OR SOLER RIERA?/AU	
L43	3	SEA FILE=MARPAT ABB=ON	PLU=ON	L12 AND (L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22)	

=> file wpix
FILE 'WPIX' ENTERED AT 14:49:10 ON 12 OCT 2007
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FILE LAST UPDATED: 8 OCT 2007 <20071008/UP>
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200764 <200764/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

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>>> IPC Reform backfile reclassification has been loaded to September 6th 2007. No update date (UP) has been created for the reclassified documents, but they can be identified by 20060101/UPIC and 20061231/UPIC, 20070601/UPIC and 20071001/UPIC. <<<

>>> Indian patent publication number format enhanced in DWPI - see NEWS <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
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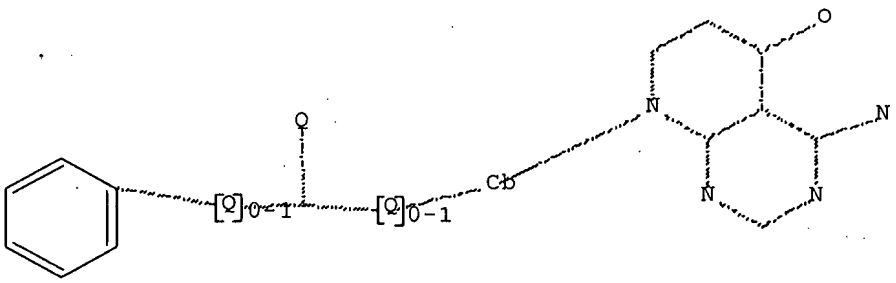
http://www.stn-international.de/training_center/patents/stn_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
http://scientific.thomson.com/support/patents/coverage/latestupdates/

>>> FOR DETAILS ON THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX PLEASE SEE

http://www.stn-international.de/stndatabases/details/dwpi_r.html <<<
'BIX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> d stat que L47
L5 STR



Structure attributes must be viewed using STN Express query preparation.

```
L13          83 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  HOELZEMANN G?/AU
L14          13 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  CRASSIER H?/AU
L15         200 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  ACKERMANN K?/AU
L16          31 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  STAEHLE W?/AU
L17         286 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  JONCZYK A?/AU
L18          52 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  RAUTENBERG W?/AU
L19          21 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  MITJANS F?/AU
L20          17 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  ROSELL E?/AU OR ROSELL
                VIVES?/AU
L21          21 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  ADAN J?/AU
L22         248 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  SOLER M?/AU OR SOLER RIERA?/AU

L45          65 SEA FILE=WPIX SSS FUL L5
L46           5 SEA FILE=WPIX ABB=ON  PLU=ON  L45/DCR
L47           2 SEA FILE=WPIX ABB=ON  PLU=ON  L46 AND (L13 OR L14 OR L15 OR
                L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22)


=> file stnguide
FILE 'STNGUIDE' ENTERED AT 14:49:19 ON 12 OCT 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct  5, 2007 (20071005/UP).

=> dup rem L41 L42 L47
FILE 'ZCAPLUS' ENTERED AT 14:50:12 ON 12 OCT 2007
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PROCESSING COMPLETED FOR L41
PROCESSING COMPLETED FOR L42
PROCESSING COMPLETED FOR L47
L48          18 DUP REM L41 L42 L47 (2 DUPLICATES REMOVED)
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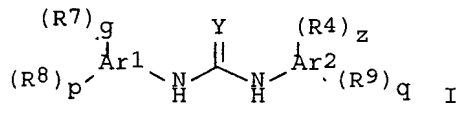
ANSWERS '1-18' FROM FILE ZCAPLUS

=> d ibib abs hitstr L41 tot; d ibib abs L42 tot; d ibib abs qhit L43 tot

L41 ANSWER 1 OF 2 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:364321 ZCAPLUS Full-text
DOCUMENT NUMBER: 144:412515
TITLE: Heterocyclic substituted bisarylurea derivatives as kinase inhibitors and their preparation, pharmaceutical compositions, and use for treatment of diseases mediated or propagated by kinases
INVENTOR(S): Stieber, Frank; ***Jonczyk, Alfred***; ***Hoelzemann, Guenter***; Buchstaller, Hans-Peter; Burgdorf, Lars Thore; ***Rautenberg, Wilfried***; Greiner, Hartmut
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040056	A1	20060420	WO 2005-EP10744	20051006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005293839	A1	20060420	AU 2005-293839	20051006
CA 2584185	A1	20060420	CA 2005-2584185	20051006
EP 1799669	A1	20070627	EP 2005-789864	20051006
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101039932	A	20070919	CN 2005-80035117	20051006
IN 2007KN01680	A	20070727	IN 2007-KN1680	20070511
PRIORITY APPLN. INFO.:			EP 2004-24369 A	20041013
			EP 2005-16845 A	20050803
			WO 2005-EP10744 W	20051006

OTHER SOURCE(S): MARPAT 144:412515
GI



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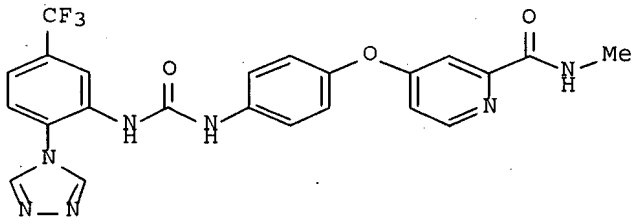


II

AB The invention relates to heterocyclic substituted bisarylurea derivs. of formula I, the use of the compds. of formula I as inhibitors of one or more kinases, the use of the compds. of formula I for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient. Compds. of formula I wherein R4 is (X-Ar3)α-(R10)10; Ar1, Ar2, and Ar3 are independently 5- to 14-membered unsatd. or aromatic cyclic hydrocarbon, or 2- to 10-membered unsatd. or aromatic heterocyclic residue, preferably 1 to 5 heteroatoms selected from N, O, and S; α is 0, 1, or 2; r, z, and p are independently 0, 1, 2, 3, 4 or 5; R7 is nitrogen containing heterocyclic moiety bound directly to Ar1 via a nitrogen atom, etc.; R8, R9, and R10 are independently H, (alkoxy)alkyl, alkenyl, C3-7 cycloalkyl, alkenylcycloalkyl, halo, CH2halo, CH(halo)2, C(halo)3, NO2, etc.; Y is O, S, NH and derivs., (un)substituted CHNO2, (un)substituted CHCN, or C(CN)2; g is 1, 2, or 3; q is 0, 1, 2, 3 or 4; and their pharmaceutically acceptable derivs., salts and solvates thereof are claimed in this invention. Example compound II was prepared by chlorination and esterification of pyridine-2-carboxylic acid to give Me 4-chloropyridine-2-carboxylate, which underwent amidation with methylamine to give 4-chloropyridine-2-carboxylic acid methylamide, which was reacted with 4-aminophenol; the resulting 4-(4-aminophenoxy)pyridine-2-carboxylic acid methylamine reacted with p-nitrophenyl chloroformate and 4-(2-amino-4-trifluoromethylphenyl)-1,2,4-triazole to give example compound II. All the invention compds. were evaluated for their activity as modulators and inhibitors of kinases. From the assay, it was determined that these compds. preferably inhibit VEGF-stimulated mitogenesis of human vascular endothelial cells in cultures with IC50 values of 0.01-5.0 μM.

IT ***883881-42-3P 883881-43-4P 883881-48-9P 883881-55-8P 883881-57-0P***

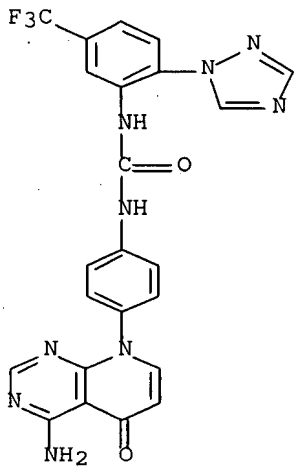
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic substituted bisarylurea derivs.

that are able to inhibit or modulate signaling of kinases useful for treatment of diseases mediated or propagated by kinases)

RN 883881-42-3 ZCAPLUS

CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-(1H-1,2,4-triazol-1-yl)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



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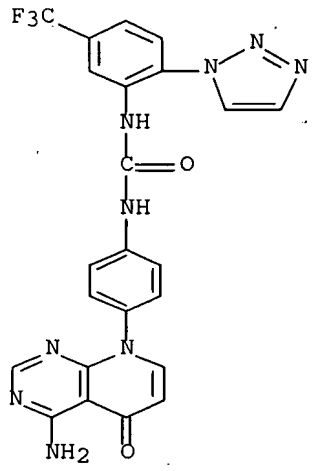
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-(1H-1,2,3-triazol-1-yl)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



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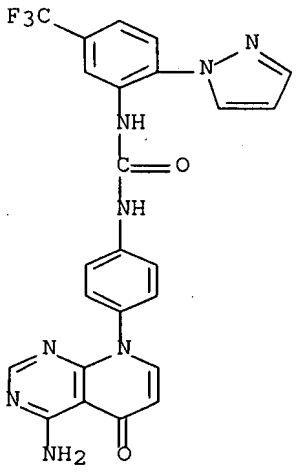

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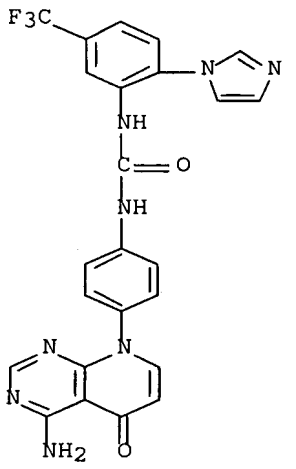
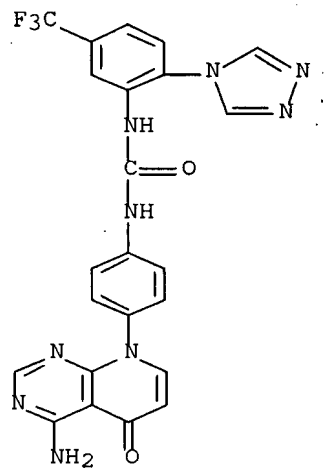
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-(1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 883881-57-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-(4H-1,2,4-triazol-4-yl)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 2 OF 2 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:451380 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:482058
TITLE: Preparation of pyridopyrimidinones as inhibitors of tyrosine and Raf kinases for treatment of tumors.
INVENTOR(S): ***Hoelzemann, Guenter; Crassier, Helene; Ackermann, Karl-August; Staehle, Wolfgang; Jonczyk, Alfred; Rautenberg, Wilfried; Mitjans, Francesc; Rosell-Vives, Elisabet; Adan, Jaume; Soler, Riera Marta***
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047283	A1	20050526	WO 2004-EP11549	20041014
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10352979	A1	20050609	DE 2003-10352979	20031113
AU 2004288727	A1	20050526	AU 2004-288727	20041014
CA 2545558	A1	20050526	CA 2004-2545558	20041014
EP 1682548	A1	20060726	EP 2004-790407	20041014

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

JP 2007510679	T	20070426	JP 2006-538680		20041014
US 2007099910	A1	20070503	US 2007-579222		20070109
PRIORITY APPLN. INFO.:			DE 2003-10352979	A	20031113
			WO 2004-EP11549	W	20041014

OTHER SOURCE(S): MARPAT 142:482058

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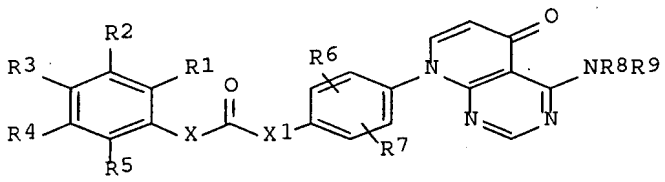

I

AB Title compds. [I; R1-R5 = H, A, OH, OA, alkenyl, alkynyl, NO2, NH2, NHA, NA2, halo, cyano, CO2H, COA, CO2A, O-Het, etc.; pairs of R1-R5 = OCH2CH2, OCH2O, OCH2CH2O, OCF2O, OCA2O; R6, R7 = H, A halo, OA, cyano; R8, R9 = H, alkyl optionally interrupted by O, N; Het = mono- or bicyclic (unsatd.) (aromatic) heterocyclyl; A = (fluoro- and/or chloro-substituted) alkyl; X, X1 = NH, null], were prepared as inhibitors of tyrosine and Raf kinases (no data). Thus, 4-amino-8-(4-aminophenyl)-8H-pyrido[2,3-d]pyrimidin-5-one (preparation given) was stirred overnight with 2-fluoro-5-trifluoromethylphenyl isocyanate and Et3N in CH2Cl2 to give 1-[4-(4-amino-5-oxo-5H-pyrido[2,3- d]pyrimidin-8-yl)phenyl]-3-(2-fluoro-5-trifluoromethylphenyl)urea.

IT ***852221-35-3P 852221-37-5P 852221-39-7P***
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852221-47-7P 852221-49-9P 852221-51-3P
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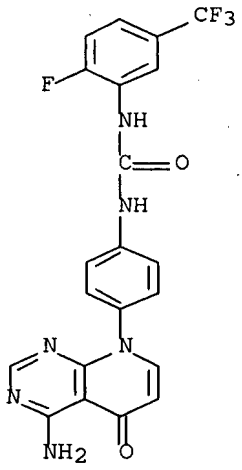
852222-40-3P 852222-42-5P 852222-44-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyridopyrimidinones as inhibitors of tyrosine and Raf kinases for treatment of tumors)

RN 852221-35-3 ZCAPLUS

CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-37-5 ZCAPLUS
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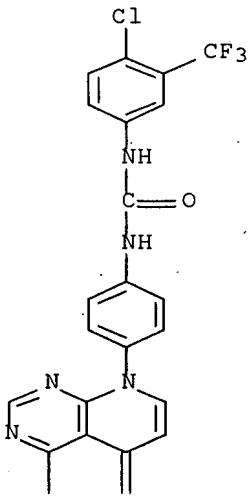

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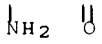
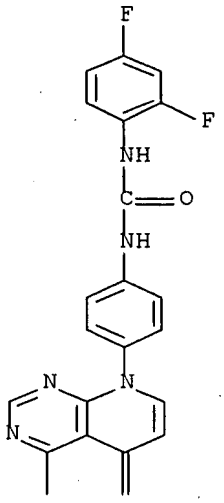


RN 852221-39-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,4-

difluorophenyl)- (CA INDEX NAME)

PAGE 1-A



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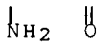

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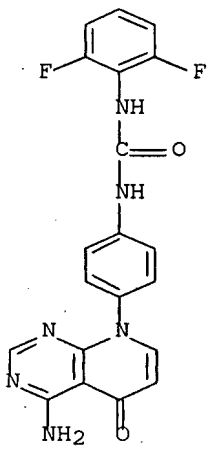

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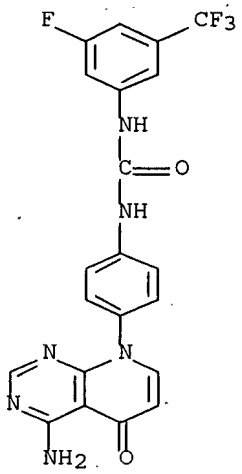

RN 852221-45-5 ZCAPLUS

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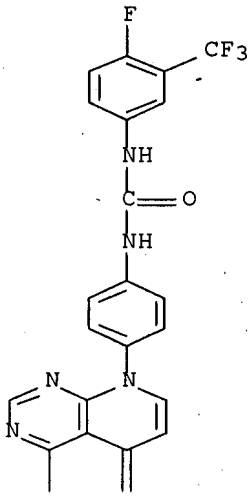
PAGE 1-A



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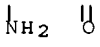
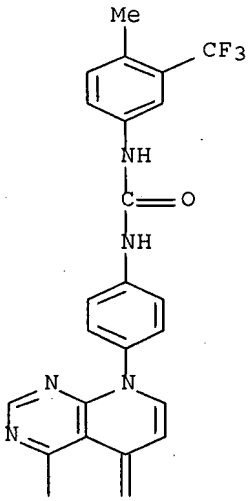


RN 852221-47-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-methyl-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

PAGE 1-A



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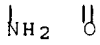

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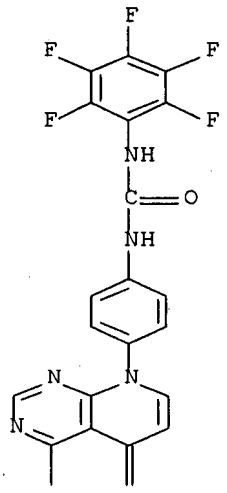
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,3,4,5,6-pentafluorophenyl)- (CA INDEX NAME)

PAGE 1-A



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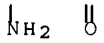
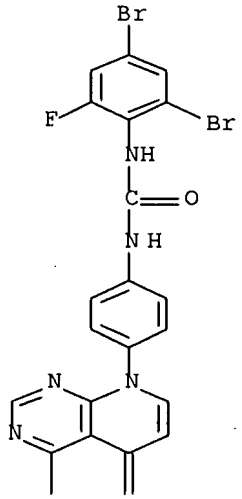


RN 852221-51-3 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,4-dibromo-6-fluorophenyl)- (CA INDEX NAME)

PAGE 1-A



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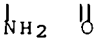

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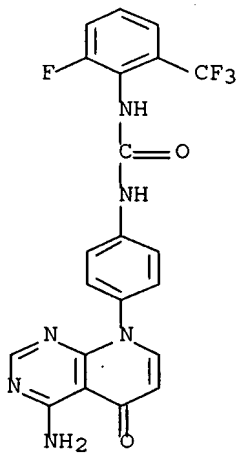

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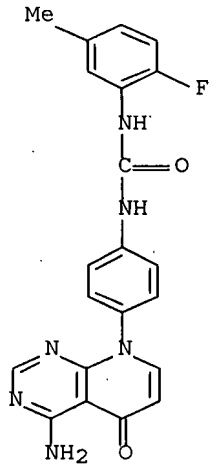
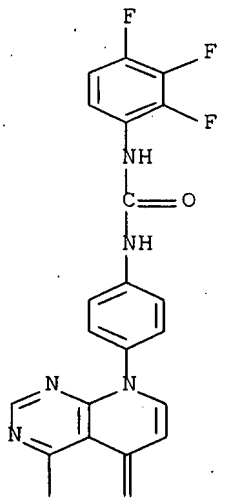


RN 852221-57-9 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

PAGE 1-A



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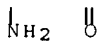

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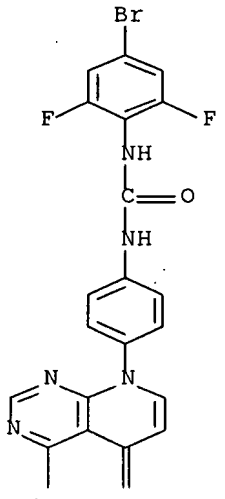

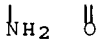

RN 852221-61-5 ZCAPLUS
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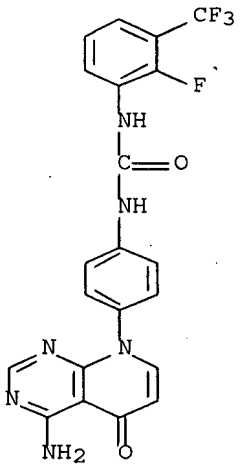
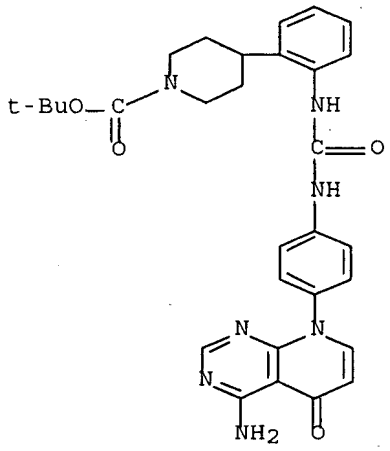


RN 852221-63-7 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[[[[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]amino]carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852221-65-9 ZCAPLUS
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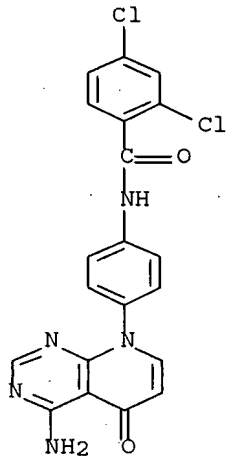

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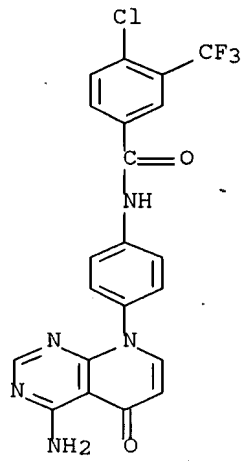

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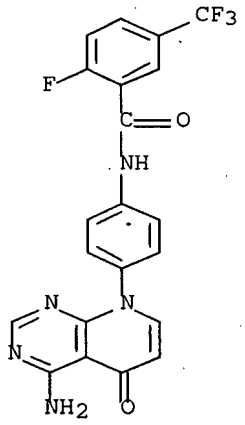

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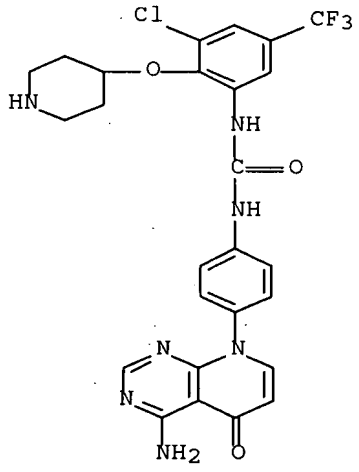

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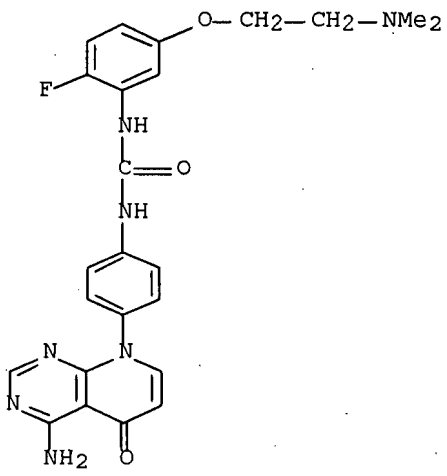

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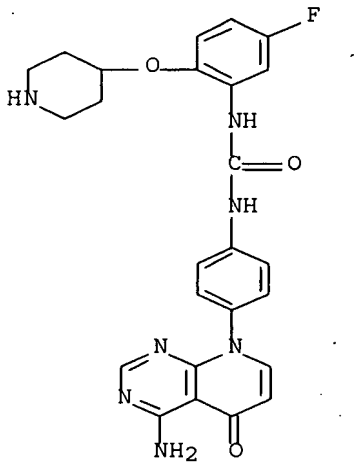

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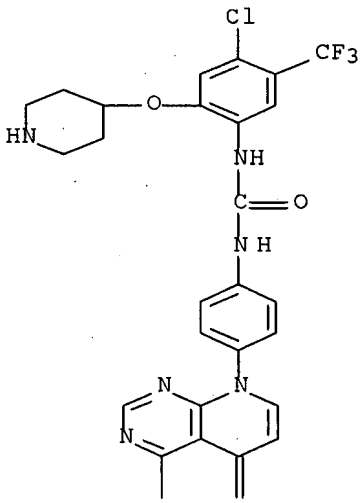

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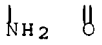

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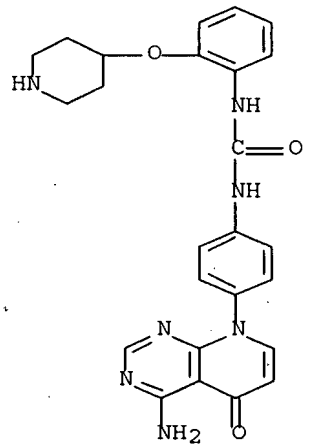
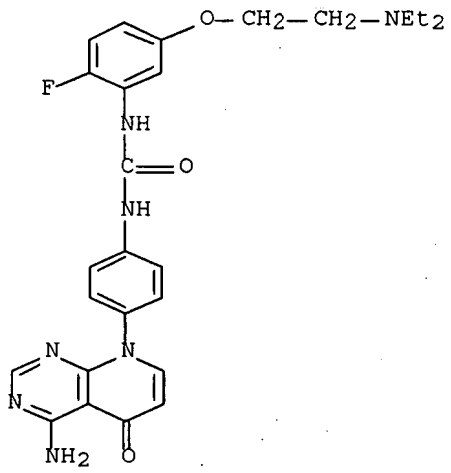


RN 852221-80-8 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-[2-(diethylamino)ethoxy]-2-fluorophenyl]- (CA INDEX NAME)



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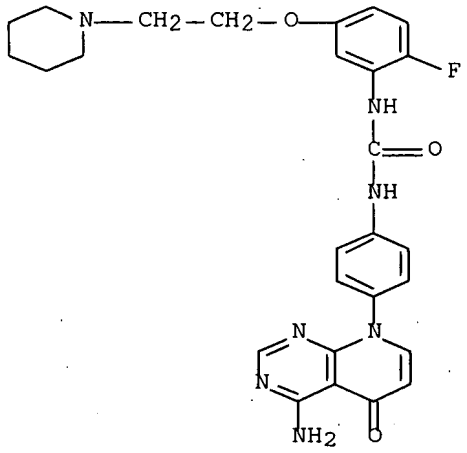

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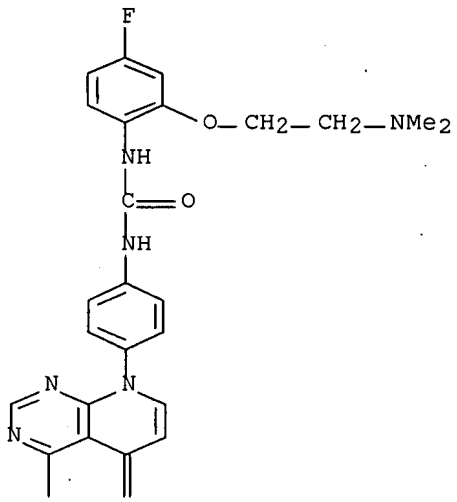

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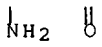

RN 852221-86-4 ZCAPLUS
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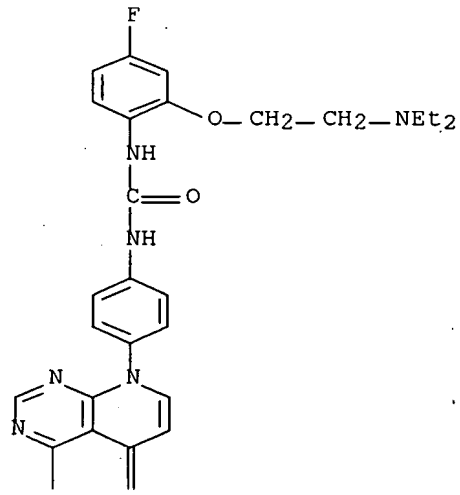

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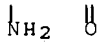

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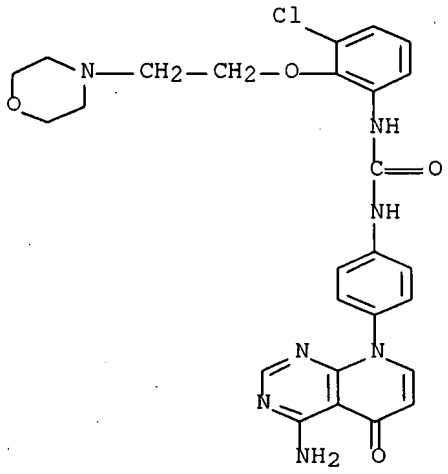
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-2-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)



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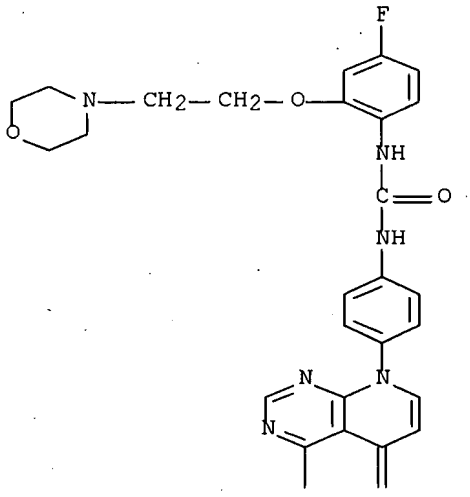

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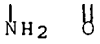

RN 852221-92-2 ZCAPLUS
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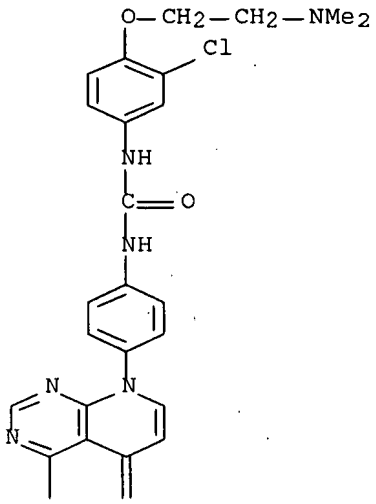

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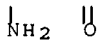

RN 852221-94-4 ZCAPLUS
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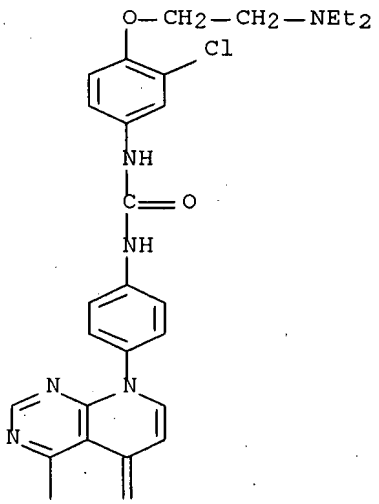

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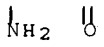

RN 852221-96-6 ZCAPLUS
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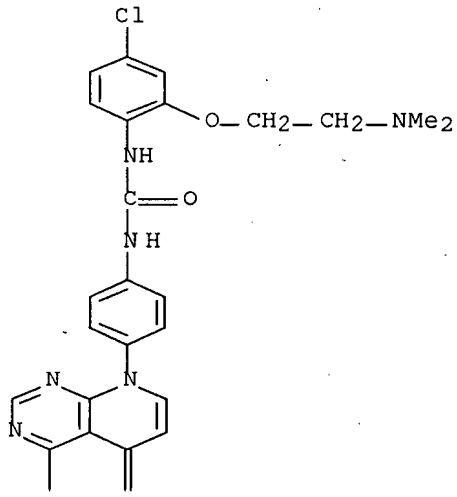

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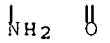

RN 852221-98-8 ZCAPLUS
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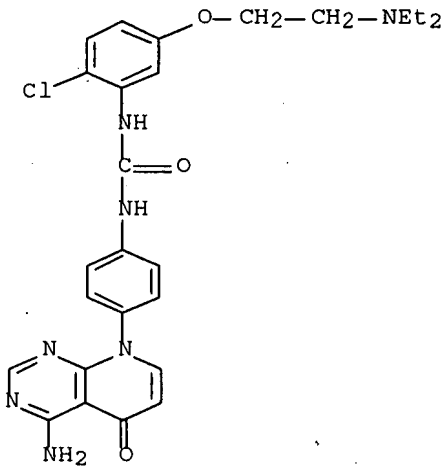
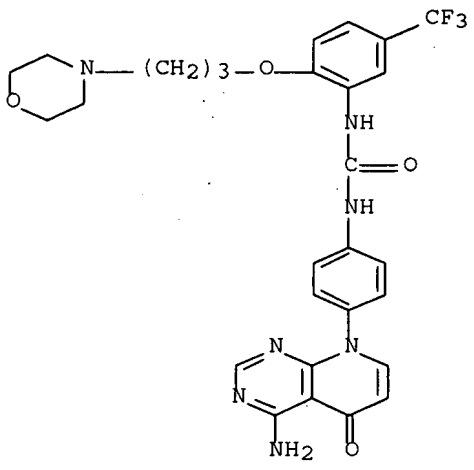
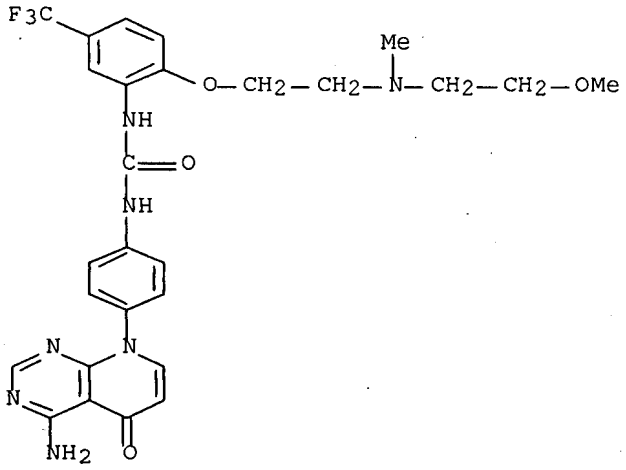


RN 852222-00-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-[3-(4-morpholinyl)propoxy]-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852222-02-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-[2-[(2-methoxyethyl)methylamino]ethoxy]-5-(trifluoromethyl)phenyl]- (CA

INDEX NAME)



RN 852222-04-9 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-[2-[(2-methoxyethyl)methylamino]ethoxy]-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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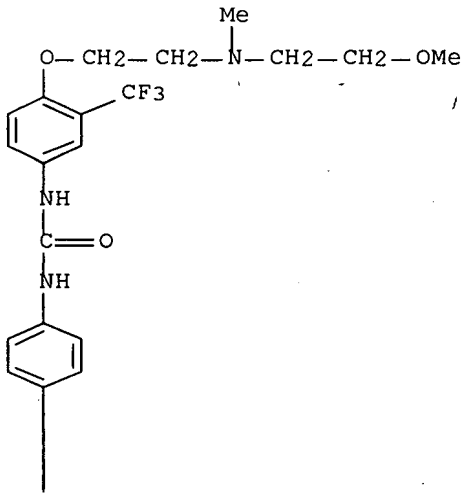

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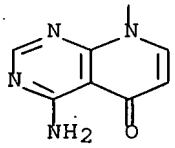

RN 852222-06-1 ZCAPLUS
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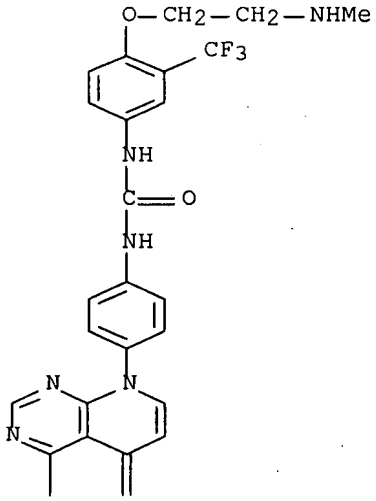

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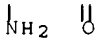

RN 852222-08-3 ZCAPLUS
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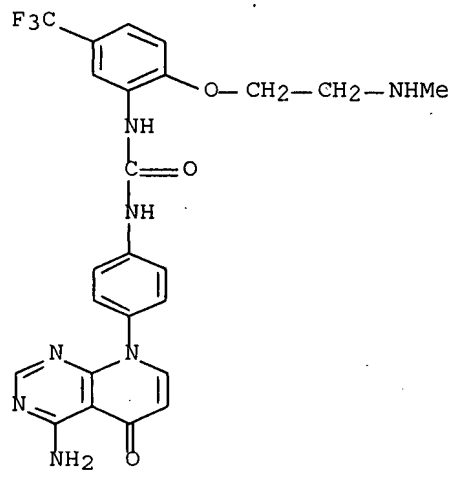

RN 852222-10-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-[3-(4-morpholinyl)propoxy]-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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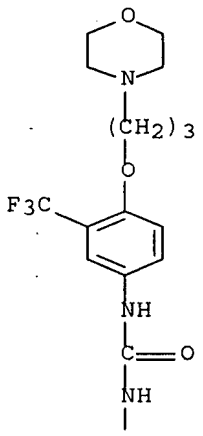

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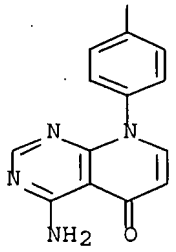

RN 852222-12-9 ZCAPLUS
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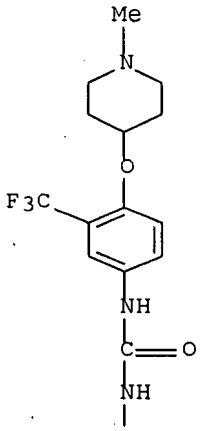

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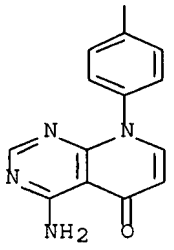

RN 852222-14-1 ZCAPLUS
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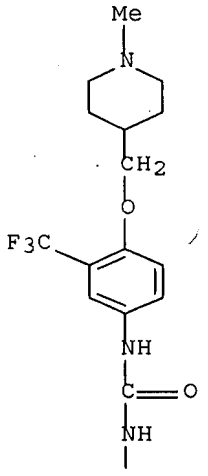

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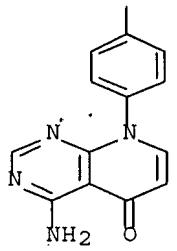

RN 852222-16-3 ZCAPLUS
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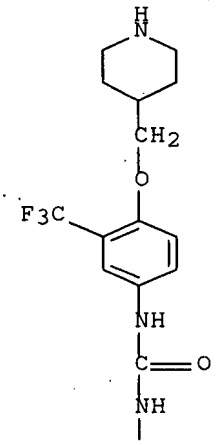

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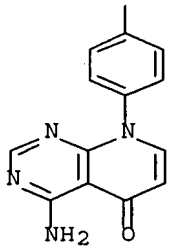

RN 852222-18-5 ZCAPLUS
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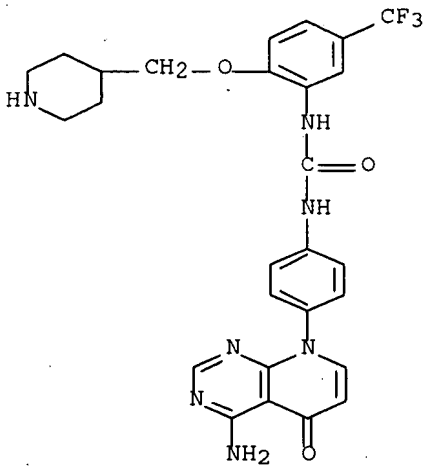

RN 852222-19-6 ZCAPLUS
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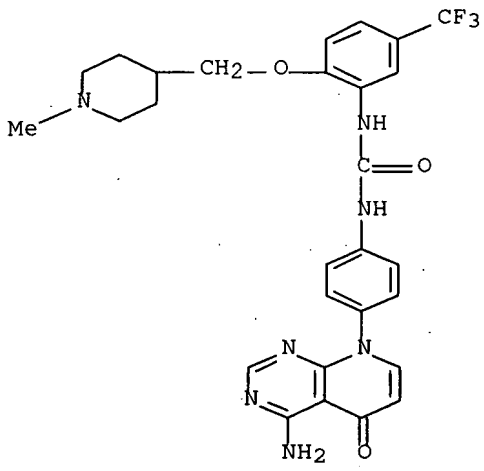

RN 852222-21-0 ZCAPLUS
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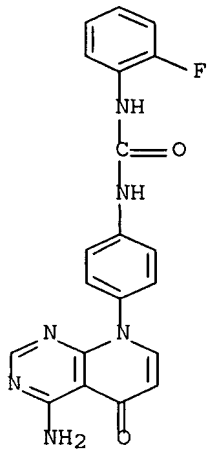

RN 852222-23-2 ZCAPLUS
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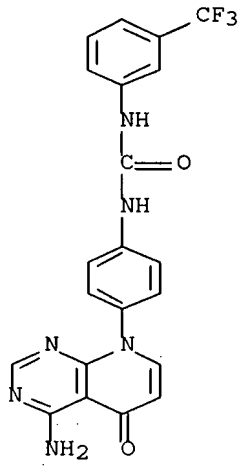
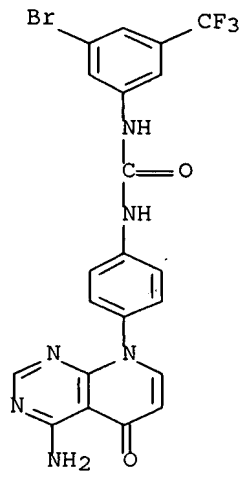


RN 852222-25-4 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-bromo-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852222-26-5 ZCAPLUS
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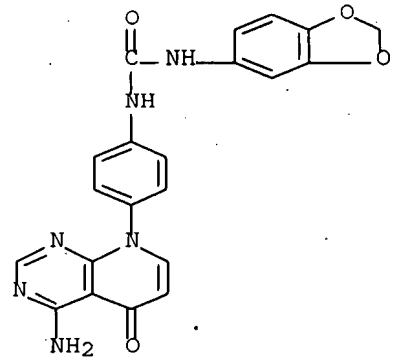

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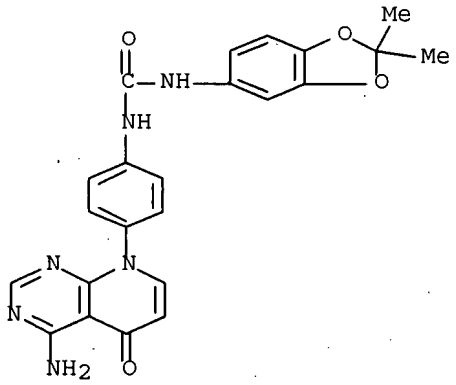

RN 852222-28-7 ZCAPLUS
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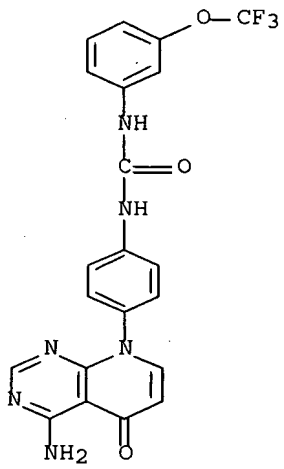

RN 852222-30-1 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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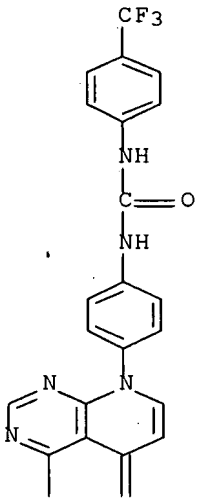

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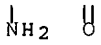
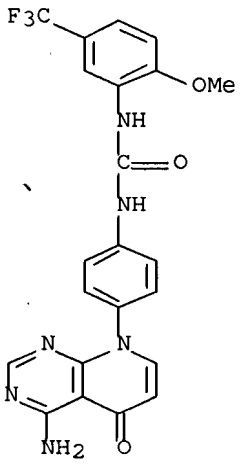
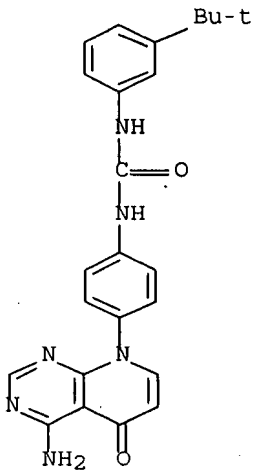


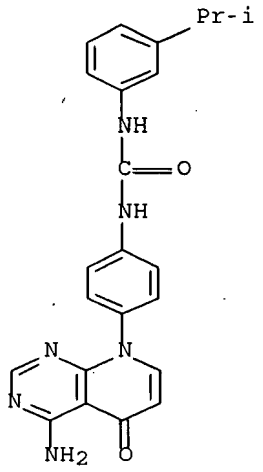
RN 852222-31-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-methoxy-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



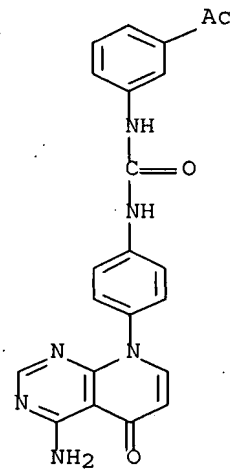
RN 852222-32-3 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-(1,1-dimethylethyl)phenyl]- (CA INDEX NAME)



RN 852222-34-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-(1-methylethyl)phenyl]- (CA INDEX NAME)

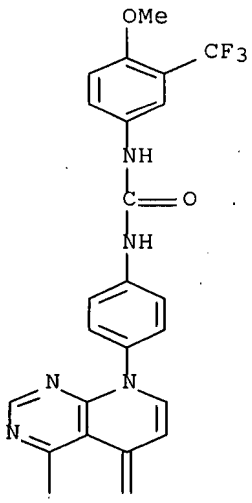


RN 852222-36-7 ZCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]- (CA INDEX NAME)

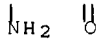


RN 852222-37-8 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-methoxy-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

PAGE 1-A

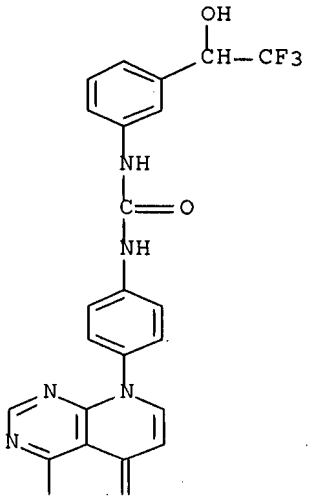


PAGE 2-A



RN 852222-39-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-(2,2,2-trifluoro-1-hydroxyethyl)phenyl]- (CA INDEX NAME)

PAGE 1-A



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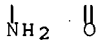
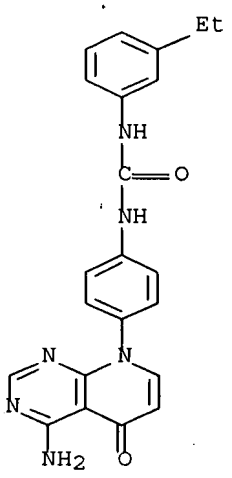
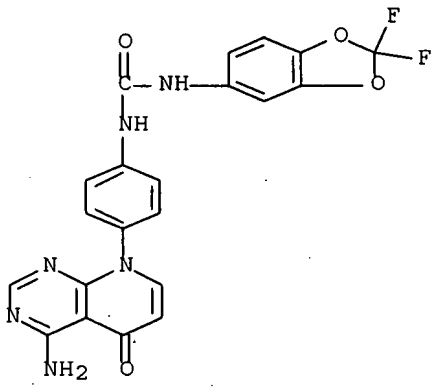


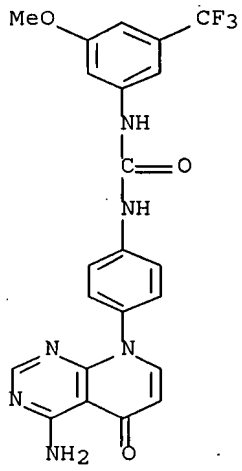
RN 852222-40-3 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(3-ethylphenyl)- (CA INDEX NAME)



RN 852222-42-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,2-difluoro-1,3-benzodioxol-5-yl)- (CA INDEX NAME)



RN 852222-44-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-methoxy-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



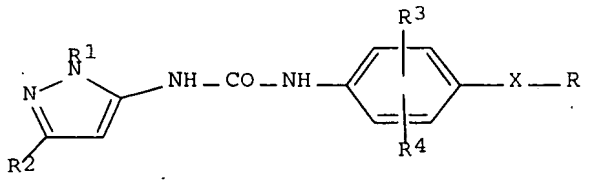
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 1 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:172762 ZCAPLUS Full-text
DOCUMENT NUMBER: 146:251832
TITLE: Preparation of 1-phenyl-3-(2H-pyrazol-3-yl)ureas as Tie-2 and Raf kinase inhibitors for treating tumor
INVENTOR(S): ***Hoelzemann, Guenter; Crassier, Helene; Rautenberg, Wilfried***
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 99pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007017083	A1	20070215	WO 2006-EP7245	20060724
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102005037499	A1	20070215	DE 2005-102005037499	20050809
PRIORITY APPLN. INFO.:			DE 2005-102005037499A	20050809

OTHER SOURCE(S): MARPAT 146:251832
GI



I

AB Title compds. [I; R = (un)substituted mono- or bicyclic aromatic heterocycle containing 1-4 N-, O-, and/or S-atoms; X = bond, CH2, NH, O, S; R1 = (un)substituted Ph, R2 = A, R1, (un)substituted mono- or bicyclic aromatic heterocycle containing 1-4 N-, O-, and/or S-atoms; A = (F-, or Cl-substituted) alkyl; R3, R4 = H, A, halo, OH, OA, cyano], were prepared as Tie-2 and Raf kinase inhibitors (no data). Thus, a mixture of 5-tert-butyl-2p-tolyl-2H-pyrazol-3-ylamine (preparation given) and 4-nitrophenyl chloroformate in CH2Cl2 was stirred with pyridine for 2 h at room temperature followed by treatment with 9-(aminophenyl)-9H-purin-6-ylamine (preparation given) and N-ethyldiisopropylamine to give after stirring over night 1-[4-(6-aminopurin-9-yl)phenyl]-3-(5-tert-butyl-2p-tolyl-2H-pyrazol-3- yl)urea.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

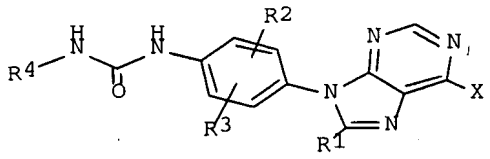
L42 ANSWER 2 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1090595 ZCAPLUS Full-text
DOCUMENT NUMBER: 145:438456
TITLE: Preparation of purine derivatives as receptor-tyrosine kinase activity inhibitors
INVENTOR(S): ***Hoelzemann, Guenter; Crassier, Helene; Rautenberg, Wilfried; Jonczyk, Alfred***

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 92pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

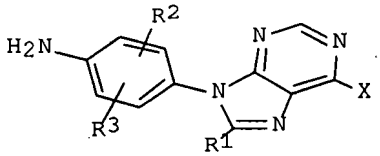
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006108482	A1	20061019	WO 2006-EP2380	20060315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102005017259	A1	20061019	DE 2005-102005017259	20050414
PRIORITY APPLN. INFO.:			DE 2005-102005017259A	20050414

OTHER SOURCE(S): CASREACT 145:438456

GI



I



II

AB The invention relates to compds. I [R1 = H, A; R2, R3 = H, A, Hal, OH, OA, CN; R4 = Ar, Het1; R5, R6 = H, A; X = OH, NH2; A = C1-10-alkyl, optionally substituted with 1 to 7 F or Cl; Ar = (un)substituted Ph optionally substituted with 1 to 3 from the following, Hal, A, OA, OH, C2-6-alkenyl, C2-6-alkynyl, NO2, NR5R6, C(:O)NR5R6, CO2H, CO2A, CN, CHO, COA, Ph, (CH2)nHet, O(CH2)nHet, NH(CH2)nHet, O(CH2)nCyc, N(CH2)nCyc, O(CH2)mNR5R6, NR1(CH2)mNR5R6, O(CH2)mNR1O(CH2)mNR5R6; Het = (un)saturated or aromatic, mono- or bicyclic heterocycle containing 1 to 4 N, O and S and optionally substituted with 1 to 3 Hal, A, OA, Ph, CO2A, CN, CC(:O); Het1 = mono- or bicyclic, aromatic heterocycle containing 1 to 4 N, O and S and optionally substituted with 1 to 3 Hal, A, OA, OH, C2-6-alkenyl, C2-6-alkynyl, NO2, NR5R6, C(:O)NR5R6, CO2H, CO2A, CN, CHO, COA, Ph, (CH2)nHet, O(CH2)nHet, NH(CH2)nHet, O(CH2)nCyc,

N(CH2)nCyc, O(CH2)mNR5R6, NR1(CH2)mNR5R6, O(CH2)mNR1O(CH2)mNR5R6; Cyc = C3-7-cycloalkyl; Hal = F, Cl, Br, I; n = 0, 1, 2, 3, 4; m = 1, 2, 3, 4] or their pharmaceutically acceptable salts, solvates, tautomers, stereoisomers or their mixts., which are inhibitors of tyrosine kinases, in particular TIE-2, and the Raf-kinases and can be also be used for treating tumors. The procedure for the preparation of I comprises: carbamoylation of purinylanilines II with (a) isocyanates, R4NCO; or (b) carbamoylation by sequential addition of chloroformates followed by amines R4NH2; or, (c) by solvolysis or hydrogenolysis of protected derivs. of II and its salts. Thus, 1-[4-(6-aminopurin-9- yl)phenyl]-3-[3-(trifluoromethyl)phenyl]urea [I; R1 = R2 = R3 = H; R4 = C6H4CF3-3; X = NH2] was prepd, from 9-(4-aminophenyl)adenine [II; R1 = R2 = R3 = H; X = NH2] via carbamoylation with 3-CF2C6H4NCO in CH2Cl2 containing Et3N. The enzyme inhibiting activity of I [R1 = R2 = R3 = H; R4 = C6H4CF3-3; X = NH2] was determined [IC50 = 14 nmol/L vs. Tyrosine kinase receptor Tie-2 and IC50 = 3.6 nmol/L vs. Vascular endothelial growth factor receptors (VEGFR)].

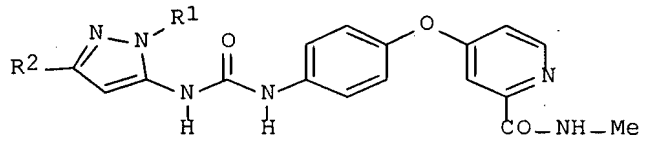
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 3 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1038299 ZCAPLUS Full-text
DOCUMENT NUMBER: 145:377383
TITLE: Preparation of (1-phenyl-1H-pyrazol-5-yl)ureas as TIE-2 and Raf Kinase inhibitors
INVENTOR(S): ***Hoelzemann, Guenter; Crassier, Helene; Rautenberg, Wilfried***
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 33pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102005015253	A1	20061005	DE 2005-102005015253	20050404
WO 2006105844	A1	20061012	WO 2006-EP2119	20060308

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2005-102005015253A 20050404
OTHER SOURCE(S): CASREACT 145:377383; MARPAT 145:377383
GI



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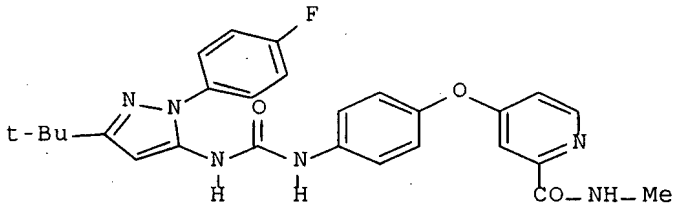


II

AB Title compds. I [R1 = (un)substituted phenyl; R2 = A, R1, Het; A = alkyl; Het = aromatic heterocycle] and their pharmaceutically acceptable salts and formulations were prepared For example, phenylpyrazolylurea II was prepared from (4-fluorophenyl)hydrazine in 2-steps. Compds. I are claimed to be inhibitors of TIE-2 and Raf Kinases.

L42 ANSWER 4 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:445942 ZCAPLUS Full-text
DOCUMENT NUMBER: 144:468195
TITLE: Preparation of 4-aminopyridopyrimidinones as TIE-2 and Raf Kinase inhibitors
INVENTOR(S): ***Hoelzemann, Guenter; Crassier, Helene; Jonczyk, Alfred; Rautenberg, Wilfried***
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 39 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

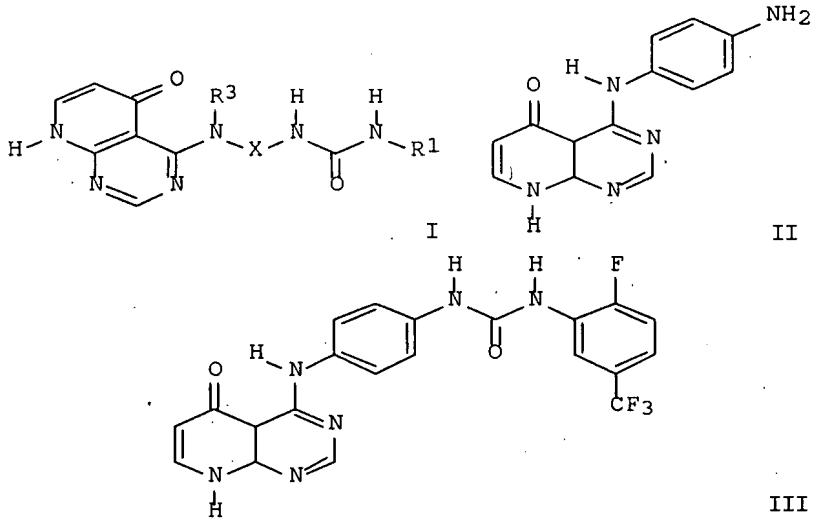
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004054216	A1	20060511	DE 2004-102004054216	20041110
AU 2005304066	A1	20060518	AU 2005-304066	20051012
CA 2586929	A1	20060518	CA 2005-2586929	20051012
WO 2006050779	A1	20060518	WO 2005-EP10957	20051012
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1809629	A1	20070725	EP 2005-791308	20051012

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: DE 2004-102004054216A 20041110
WO 2005-EP10957 W 20051012

OTHER SOURCE(S): CASREACT 144:468195; MARPAT 144:468195

GI



I II III

AB Title compds. I [R1 = Ar, Het; R3 = H, A; X = phenylene with provisos; A = alkyl; Ar = (un)substituted aromatic carbocycle; Het = aromatic heterocycle with 1-4 N, O, or S atoms] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of aniline II and 2-fluoro-5-(trifluoromethyl)phenylisocyanate afforded claimed pyridopyrimidinone III. Compds. I are claimed to be inhibitors of TIE-2 and Raf Kinases.

L42 ANSWER 5 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:445931 ZCAPLUS Full-text
DOCUMENT NUMBER: 144:468194
TITLE: Preparation of 4-amino-pyrido[2,3-d]pyrimidin-5(1H)-ones as Raf and Tie-2 kinase inhibitors
INVENTOR(S): ***Hoelzemann, Guenter***; ***Ackermann, Karl-August***; ***Crassier, Helene***; ***Jonczyk, Alfred***; ***Rautenberg, Wilfried***; Tarrason, Gema; ***Rosell-Vives, Elisabet***; ***Adan, Jaume***; Cases, Claudia
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 102004054215	A1	20060511	DE 2004-102004054215	20041110
AU 2005304087	A1	20060518	AU 2005-304087	20051020
CA 2587609	A1	20060518	CA 2005-2587609	20051020
WO 2006050800	A1	20060518	WO 2005-EP11304	20051020

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

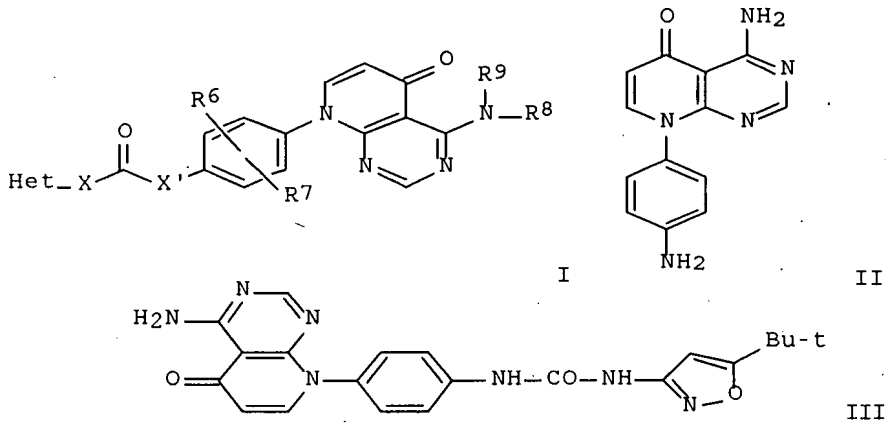
EP 1809630	A1	20070725	EP 2005-800485	20051020

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: DE 2004-102004054215A 20041110
WO 2005-EP11304 W 20051020

OTHER SOURCE(S): CASREACT 144:468194; MARPAT 144:468194

GI



I II III

AB Title compds. I [X, X' = NH with provisos; R6, R7 = H, halo, OH, etc; R8, R9 = H, A; Het = heteroarom. with 1-4 N, O, or S atoms; A = halosubstituted alkyl] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of diamine II and 3-amino-5-tert-butylisoxazole and 4-nitrophenyl chloroformate afforded aminopyridopyrimidinone III. Compds. I are claimed to be Raf and Tie-2 kinase inhibitors (no data provided).

L42 ANSWER 6 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:381282 ZCAPLUS Full-text
DOCUMENT NUMBER: 144:432806
TITLE: Preparation of phenylureas as TIE-2 and Raf kinase

inhibitors

INVENTOR(S): ***Staehle, Wolfgang; Hoelzemann, Guenter; Rautenberg, Wilfried***

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006042599	A1	20060427	WO 2005-EP9983	20050916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005297531	A1	20060427	AU 2005-297531	20050916
CA 2584170	A1	20060427	CA 2005-2584170	20050916
EP 1809628	A1	20070725	EP 2005-787382	20050916
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: EP 2004-24368 A 20041013
WO 2005-EP9983 W 20050916

OTHER SOURCE(S): MARPAT 144:432806

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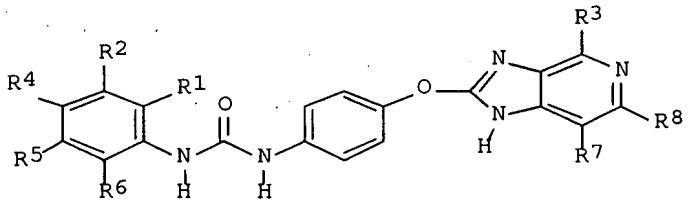

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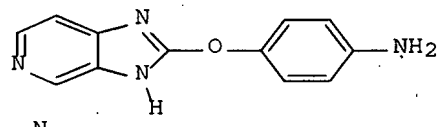
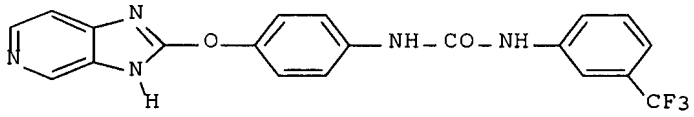


II



III

AB Title compds. I [R1, R2, R4, R6, R7, R8 = halo, CN, NO2, etc.; R3 = halo, OR; R5 = H, A; R = H, A, etc.; A = (un)substituted alkyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of aniline II and 3- trifluoromethylphenylisocyanate afforded claimed diphenylurea III. Compds. I are noted as TIE-2 and Raf kinase inhibitors (no data provided).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 7 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:367000 ZCAPLUS Full-text

DOCUMENT NUMBER: 144:412506

TITLE: Preparation of N,N'-diphenylureas as TIE-2 and Raf kinase inhibitors

INVENTOR(S): ***Staehle, Wolfgang; Hoelzemann, Guenter; Rautenberg, Wilfried***

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040039	A1	20060420	WO 2005-EP10660	20051004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005293821	A1	20060420	AU 2005-293821	20051004
CA 2584179	A1	20060420	CA 2005-2584179	20051004
EP 1799679	A1	20070627	EP 2005-789235	20051004
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: EP 2004-24367 A 20041013
WO 2005-EP10660 W 20051004

OTHER SOURCE(S): MARPAT 144:412506

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2, R4, R6, R7, R8 = halo, CN, NO2, etc.; R3 = halo, OR; R5 = H, A; R = H, A, etc.; A = (un)substituted alkyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of aniline II and 2-fluoro-5- trifluoromethylphenylisocyanate

afforded claimed diphenylurea III. Compds. I are noted as TIE-2 and Raf kinase inhibitors (no data provided).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 8 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1175920 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:440433
TITLE: Preparation of pyridopyrimidinyl phenyl sulfonamides as inhibitors of tyrosine and Raf-kinases
INVENTOR(S): ***Hoelzemann, Guenter; Crassier, Helene; Jonczyk, Alfred; Staehle, Wolfgang; Rautenberg, Wilfried***
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 34 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004018198	A1	20051103	DE 2004-102004018198	20040415
AU 2005238135	A1	20051110	AU 2005-238135	20050317
CA 2563558	A1	20051110	CA 2005-2563558	20050317
WO 2005105797	A1	20051110	WO 2005-EP2849	20050317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1737858	A1	20070103	EP 2005-716154	20050317
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: DE 2004-102004018198A 20040415
WO 2005-EP2849 W 20050317
OTHER SOURCE(S): MARPAT 143:440433
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = (un)substituted -(CH2)n-Ph or -(CH2)-Het; n = 0-3; Het = (un)substituted, (un)saturated or aromatic heterocycle containing 1-4 heteroatoms selected from N, O or S; R1 and R2 independently = H, halo, OH, etc.; R3 and R4 independently = H or (un)substituted alkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of tyrosine and Raf-kinases. Thus, e.g., II was prepared by coupling of 4-Amino-8H-pyrido[2,3-d]pyrimidin-5-one with 1-fluoro-4-nitrobenzene followed by reduction and subsequent sulfonylation using 2,3- dichlorobenzenesulfonyl chloride. The activity of I towards VEGF receptor kinase was evaluated using

scintillation assay (no data). I as inhibitors of tyrosine and Raf-kinases should prove useful in the treatment of cancers such as but not limited to bladder, stomach and prostate. Pharmaceutical compns. comprising I are disclosed.

L42 ANSWER 9 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1125462 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:405907
TITLE: Preparation of imidazole derivatives as inhibitors of tyrosine kinases and Raf kinases
INVENTOR(S): ***Hoelzemann, Guenter***; ***Crassier, Helene***; ***Jonczyk, Alfred***; ***Staehle, Wolfgang***; Sutter, Arne; ***Rautenberg, Wilfried***; ***Mitjans, Francesc***; ***Rosell-Vives, Elisabet***; ***Adan, Jaume***; ***Soler, Marta***
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004015099	A1	20051020	DE 2004-102004015099	20040329
AU 2005231907	A1	20051020	AU 2005-231907	20050315
CA 2561585	A1	20051020	CA 2005-2561585	20050315
WO 2005097755	A2	20051020	WO 2005-EP2746	20050315
WO 2005097755	A3	20060309		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1761503	A2	20070314	EP 2005-716076	20050315
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV				
CN 1938282	A	20070328	CN 2005-80010619	20050315
BR 2005008881	A	20070911	BR 2005-8881	20050315
IN 2006KN02398	A	20070525	IN 2006-KN2398	20060824
MX 2006PA10968	A	20061116	MX 2006-PA10968	20060925
US 2007225347	A1	20070927	US 2007-593295	20070111
PRIORITY APPLN. INFO.:			DE 2004-102004015099A	20040329
			WO 2005-EP2746 W	20050315

OTHER SOURCE(S): MARPAT 143:405907
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2, R3, R4 and R5 independently = H, OH, NH2, etc. or two neighboring R1, R2, R3, R4 and R5 together may form -O-CH2-CH2-, -O-CH2-O- or -O-CH2-CH2-O-; R6 and R7 independently = H, OH, CN, etc.; R8 = CN, COOH, CONH2, etc.; R9, R10 and R11 independently = H or A; A = (un)substituted alkyl; X and X1 independently = NH or missing] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of tyrosine kinases and Raf kinases. Thus, e.g., II was prepared by coupling of 2-methoxy-5-trifluoromethylaniline with 4-nitrophenyl chloroformate followed by deprotection and subsequent cyclization using 2-amino-2-cyanoacetamide. The inhibitory activity of I towards VEGF-receptor kinase was evaluated using scintillation assays and it was revealed that compds. of the invention displayed kinase inhibitory activity (no data). I as inhibitors of tyrosine kinases and Raf kinases should prove useful in the treatment of diseases such as but not limited to lung cancer, breast cancer and arthritis. Pharmaceutical compns. comprising I are disclosed.

L42 ANSWER 10 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:409508 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:463726
TITLE: Preparation of benzimidazolyls as TIE-2 tyrosine kinase inhibitors for the treatment of tumors
INVENTOR(S): ***Staehle, Wolfgang***; Buchstaller, Hans-Peter; ***Jonczyk, Alfred***; ***Rautenberg, Wilfried***
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042520	A1	20050512	WO 2004-EP11550	20041014
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10349587	A1	20050525	DE 2003-10349587	20031024
AU 2004285643	A1	20050512	AU 2004-285643	20041014
CA 2543346	A1	20050512	CA 2004-2543346	20041014
EP 1675849	A1	20060705	EP 2004-765962	20041014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1871232	A	20061129	CN 2004-80031334	20041014
BR 2004015760	A	20061219	BR 2004-15760	20041014
JP 2007509096	T	20070412	JP 2006-536006	20041014
MX 2006PA04405	A	20060614	MX 2006-PA4405	20060420
US 2007066660	A1	20070322	US 2006-577033	20060424
IN 2006KN01239	A	20070427	IN 2006-KN1239	20060511
PRIORITY APPLN. INFO.:			DE 2003-10349587 A	20031024

WO 2004-EP11550 W 20041014

OTHER SOURCE(S): MARPAT 142:463726

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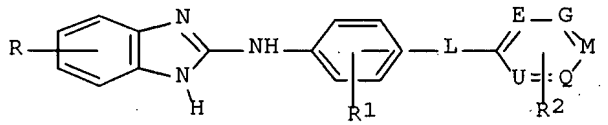

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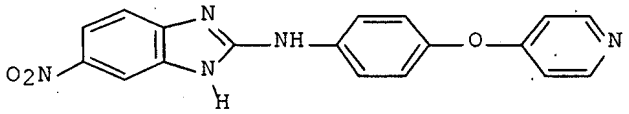

II

AB Title compds. I [R = (R1)m; R1 = (R1')p; R2 = (R2')q; m, p, q = 0-4; R1, R1' = Halo, OH, CN, etc.; L = CH2, CH2CH2, O, etc.; R2' = halo, OH, CO2H, etc.; E, G, M, Q, U = C or N atom with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of 4-(4-isothiocyanatophenoxy)puridine and 4-nitro-1,2-phenylenediamine afforded claimed benzimidazol II. In TIE-2 tyrosine kinase inhibition assays, 3-examples of compds. I exhibited IC50 values ranging from 5-40 x 10-7 mol/L. Compds. I are claimed to be useful as tyrosine kinase inhibitors in the treatment of tumors.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 11 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:345863 ZCAPLUS Full-text

DOCUMENT NUMBER: 142:411345

TITLE: Preparation of 1,3-benzoxazols as TIE-2 kinase inhibitors

INVENTOR(S): ***Staehle, Wolfgang; Jonczyk, Alfred ; Rautenberg, Wilfried***

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10344223	A1	20050421	DE 2003-10344223	20030924
AU 2004281879	A1	20050428	AU 2004-281879	20040901
CA 2539767	A1	20050428	CA 2004-2539767	20040901
WO 2005037829	A1	20050428	WO 2004-EP9743	20040901

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1664039	A1	20060607	EP 2004-764704	20040901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007506687	T	20070322	JP 2006-527292	20040901
US 2006281762	A1	20061214	US 2006-573176	20060323
PRIORITY APPLN. INFO.:			DE 2003-10344223 A	20030924
			WO 2004-EP9743 W	20040901

OTHER SOURCE(S): MARPAT 142:411345

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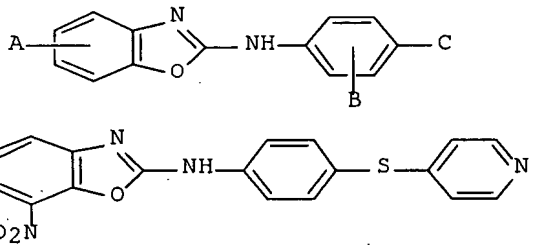


I

II

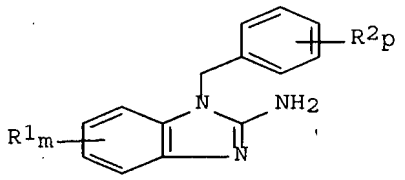
AB Title compds. I [A = (R1)n; B = (R2)m; C = X-Y-(R3)p; R1, R2, R3 = halo, CN, NO2, etc.; X = O, S, SO2, etc.; n, m, p = 1-4; A = (un)substituted cyclic alkyl with provisos]and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of 4-(pyridin-4-ylsulfanyl)phenylamine and 5-chloro-7-nitro-3H-benzoxazol-2- thione, e.g., prepared from diimidazol-1-ylmethanthione and 2-amino-4-chloro-6-nitrophenol, afforded claimed benzoxazol II. In a TIE-2 kinase inhibition assay, the IC50 value of benzoxazol II was 310 nM. Compds. I are claimed to be useful as TIE-2, VEGFR and the Raf kinase inhibitors.

L42 ANSWER 12 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2005:283472 ZCAPLUS Full-text
DOCUMENT NUMBER:	142:336361
TITLE:	Preparation of benzylbenzimidazoles as inhibitors of tyrosine kinases
INVENTOR(S):	***Staehle, Wolfgang; Jonczyk, Alfred ; Rautenberg, Wilfried***
PATENT ASSIGNEE(S):	Merck Patent GmbH, Germany
SOURCE:	PCT Int. Appl., 98 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005028448 A1 20050331 WO 2004-EP9205 20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
DE 10342503 A1 20050414 DE 2003-10342503 20030912
AU 2004274118 A1 20050331 AU 2004-274118 20040817
CA 2538743 A1 20050331 CA 2004-2538743 20040817
EP 1663988 A1 20060607 EP 2004-764195 20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
JP 2007505057 T 20070308 JP 2006-525666 20040817
US 2007066606 A1 20070322 US 2006-571587 20060310
PRIORITY APPLN. INFO.: DE 2003-10342503 A 20030912
WO 2004-EP9205 W 20040817
OTHER SOURCE(S): MARPAT 142:336361
GI



I

AB Benzylbenzimidazoles I [R1, R2 = R, halogen, CN, NO2, NHR, NR2, NHCOR, NHSO2R, OR, COR, CONHR, SCF3, SO3R, SO2R, SO2NHR, SO2NR2, SR, CO2H, CO2A; R22 = OCH2O, OCH2CH2O; R = H, A, Ar, (CH2)nAr, (CH2)nHet; n = 1-3; Ar = (un)substituted Ph, naphthyl; A = (un)substituted alkyl, heteroalkyl, alkenyl; Het = (un)substituted heterocyclic; m = 0-4; p = 0-5] were prepared as inhibitors of tyrosine kinases, particularly TIE-2, VEGFR, PDGFR, FGFR and/or FLT/KDR, for the treatment of tumors. Thus, 4,3-F(O2N)C6H3CHO was converted to 4,3-F(O2N)C6H3CO2H and bound to polymer support, followed by reduction to the amine, reaction with 4-MeOC6H4CH2NH2, release from the polymer, and reduction to give I [R1 = 5-(CH2)3OH, R2 = 4-OMe]. I [R1 = 4-(2,3-Cl2C6H3SO2NH), R2 = 5-(CH2)3OH] had IC50 for inhibition of TIE-2 320 nM.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 13 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:182661 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:280210
TITLE: Preparation of 2-aminobenzimidazoles as TIE-2 and Raf kinase inhibitors for the treatment of tumors
INVENTOR(S): ***Hoelzemann, Guenter; Crassier, Helene; Ackermann, Karl-August; Staehle, Wolfgang; Jonczyk, Alfred;***

Rautenberg, Wilfried; Mitjans, Francesco; Rosell-Vives, Elisabet; Adan, Jaume; Soler, Marta

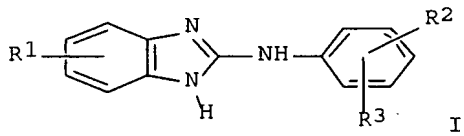
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019216	A1	20050303	WO 2004-EP8042	20040719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10337942	A1	20050317	DE 2003-10337942	20030818
AU 2004266797	A1	20050303	AU 2004-266797	20040719
CA 2536095	A1	20050303	CA 2004-2536095	20040719
EP 1656377	A1	20060517	EP 2004-741135	20040719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502786	T	20070215	JP 2006-523546	20040719
US 2007021456	A1	20070125	US 2006-568626	20060216

PRIORITY APPLN. INFO.: DE 2003-10337942 A 20030818
WO 2004-EP8042 W 20040719

OTHER SOURCE(S): MARPAT 142:280210

GI



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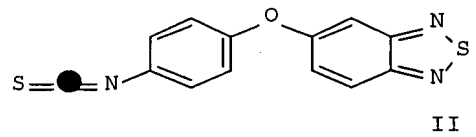
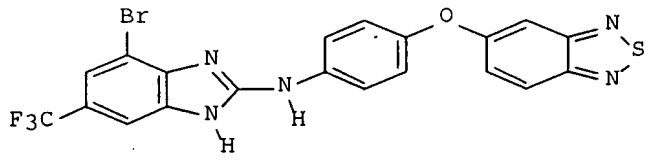


II



III

AB Title compds. I [R1 = (R4)m; R2 = (R4')p; R3 = L-Y; R4, R4' = halo, OH, CN, etc.; L = CH2, CH2CH2, O, etc.; Y = heterocycle; m, p = 0-4] and their pharmaceutically acceptable salts were prepared For example, condensation of 4-fluoronitrobenzene and isothiocyanate II, e.g., prepared from 5-hydroxy-2,1,3-benzothiadiazole in 3-steps, afforded aminobenzimidazole III. In TIE-2

tyrosine kinase receptor inhibition assays, 4-examples of compds. I exhibited IC50 values ranging from 0.22-0.39 μM, e.g., the IC50 value of aminobenzimidazole III was 0.22 μM. Compds. I are claimed to be useful for the treatment of tumors via the inhibition of TIE-2 and Raf kinases.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 14 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:182644 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:280215
TITLE: Preparation of heteroaryl-substituted diarylureas as tyrosine kinase inhibitors
INVENTOR(S): ***Hoelzemann, Guenter; Ackermann, Karl-August; Staehle, Wolfgang; Jonczyk, Alfred; Rautenberg, Wilfried ; Mitjans, Francesc; Rosell-Vives, Elisabet; Adan, Jaume; Soler, Marta; Crassier, Helene***
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019192	A1	20050303	WO 2004-EP7224	20040702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10334663	A1	20050310	DE 2003-10334663	20030730
AU 2004266781	A1	20050303	AU 2004-266781	20040702
CA 2533963	A1	20050303	CA 2004-2533963	20040702
EP 1651626	A1	20060503	EP 2004-763077	20040702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007500136	T	20070111	JP 2006-521413	20040702
US 2006241301	A1	20061026	US 2006-566351	20060130

PRIORITY APPLN. INFO.: DE 2003-10334663 A 20030730
WO 2004-EP7224 W 20040702

AB Twenty-eight title compds. were claimed. Thus, 5-(4-aminophenoxy)benzo-1,2,5-thiadiazole (preparation given), 2-fluoro-5-trifluoromethylphenyl isocyanate, and Et3N were stirred in CH2Cl2 to give 1[4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl]-3-(2-fluoro-5-trifluoromethylphenyl)urea as the trifluoroacetate. The latter inhibited TIE-2 and RAF kinase with IC50 = 57 nM and 220 nM, resp.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 15 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:501689 ZCAPLUS Full-text
DOCUMENT NUMBER: 125:132747
TITLE: Mouse hybridoma cell line producing anti-human αV-integrin monoclonal antibody 17E6, and tumor inhibition and diagnosis
INVENTOR(S): ***Mitjans, Francesc***; ***Adan, Jaume***; Piulats, Jaume; Goodman, Simon; ***Rosell, Elisabet***; Hahn, Diane
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 719859	A1	19960703	EP 1995-119233	19951206
EP 719859	B1	20030702		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 244306	T	20030715	AT 1995-119233	19951206
PT 719859	T	20031128	PT 1995-119233	19951206
ES 2202336	T3	20040401	ES 1995-119233	19951206
AU 9540421	A	19960627	AU 1995-40421	19951213
AU 710234	B2	19990916		
CZ 290477	B6	20020717	CZ 1995-3288	19951213
IN 1995CA01646	A	20060407	IN 1995-CA1646	19951215
CA 2165573	A1	19960621	CA 1995-2165573	19951218
JP 08231597	A	19960910	JP 1995-328877	19951218
JP 3898245	B2	20070328		
ZA 9510806	A	19960530	ZA 1995-10806	19951219
FI 9506112	A	19960621	FI 1995-6112	19951219
NO 9505167	A	19960621	NO 1995-5167	19951219
NO 321186	B1	20060403		
CN 1139115	A	19970101	CN 1995-120901	19951219
CN 1117763	B	20030813		
HU 74828	A2	19970228	HU 1995-3638	19951219
HU 221061	B1	20020729		
US 5985278	A	19991116	US 1995-574699	19951219
PL 182961	B1	20020531	PL 1995-311926	19951219
RU 2205223	C2	20030527	RU 1995-121105	19951219
SK 284932	B6	20060202	SK 1995-1592	19951219
BR 9505980	A	19971223	BR 1995-5980	19951220
PRIORITY APPLN. INFO.:			EP 1994-120165 A	19941220

AB The invention relates to a novel monoclonal antibody, a hybridoma cell line producing said antibody, DNA sequences coding for said antibody, and amino acid sequences. The monoclonal antibody, a preferred embodiment of which is named 17E6, has the following properties: -- reacting only with the αV-chain of human αV-integrins, -- blocking the attachment to the integrin substrate of the αV-integrin bearing cell, -- triggering reversal of established cell matrix interaction caused by αV-integrins, -- blocking tumor development, and -- showing no cytotoxic activity.

L42 ANSWER 16 OF 16 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:975468 ZCAPLUS Full-text
DOCUMENT NUMBER: 124:7072
TITLE: Anti-epidermal growth factor receptor (EGFR)

	single-chain Fvs and their uses for the preparation of humanized antibodies to EGFR
INVENTOR(S):	Kettleborough, A. Cathrine; Bendig, Mary M.; Ansell, Keith H.; Guessow, Detlef; ***Adan, Jaime***; ***Mitjans, Francesc***; ***Rosell, Elisabet***; Blasco, Francesc; Piulats, Jaime
PATENT ASSIGNEE(S):	Merck Patent GMBH, Germany
SOURCE:	PCT Int. Appl., 91 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9525167	A1	19950921	WO 1995-EP978	19950316
W: AU, CA, CN, CZ, HU, JP, KR, MX, NO, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2163012	A1	19950921	CA 1995-2163012	19950316
AU 9520716	A	19951003	AU 1995-20716	19950316
ZA 9502174	A	19951227	ZA 1995-2174	19950316
EP 699237	A1	19960306	EP 1995-913134	19950316
EP 699237	B1	20030219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1124501	A	19960612	CN 1995-190191	19950316
CN 1073158	B	20011017		
HU 73461	A2	19960828	HU 1995-3285	19950316
HU 221001	B1	20020729		
RU 2170257	C2	20010710	RU 1995-122645	19950316
PL 181342	B1	20010731	PL 1995-311661	19950316
AT 232902	T	20030315	AT 1995-913134	19950316
CZ 292061	B6	20030716	CZ 1995-3014	19950316
PT 699237	T	20030731	PT 1995-913134	19950316
ES 2191702	T3	20030916	ES 1995-913134	19950316
SK 283889	B6	20040406	SK 1995-1430	19950316
NO 9504626	A	19951116	NO 1995-4626	19951116
NO 322252	B1	20060904		
US 5844093	A	19981201	US 1995-553497	19951117
AU 9918559	A	19990513	AU 1999-18559	19990303
AU 724562	B2	20000928		
JP 2006025794	A	20060202	JP 2005-233093	20050811

PRIORITY APPLN. INFO.:	EP 1994-104160	A	19940317
	EP 1994-118970	A	19941202
	AU 1995-20716	A3	19950316
	JP 1995-523847	A3	19950316
	WO 1995-EP978	W	19950316

AB This invention relates to new anti-EGFR antibodies and single-chain Fvs (svFvs) thereof which can be obtained from phage-antibody libraries constructed from cells of an immunized mammalian, preferably a mouse. Two of the single-chain Fvs isolated from the phage-antibody libraries were engineered to create partially humanized whole antibody mols. These chimeric anti-EGFR antibodies contain constant regions of human Igs, and can be used as well as the single-chain Fvs as agents for the diagnosis and therapy of human tumors.

YOU HAVE REQUESTED DATA FROM FILE 'MARPAT' - CONTINUE? (Y)/N:y

L43 ANSWER 1 OF 3 MARPAT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:468194 MARPAT Full-text
TITLE: Preparation of 4-amino-pyrido[2,3-d]pyrimidin-5(1H)-ones as Raf and Tie-2 kinase inhibitors
INVENTOR(S): Hoelzemann, Guenter; Ackermann, Karl-August; Crassier, Helene; Jonczyk, Alfred; Rautenberg, Wilfried; Tarrason, Gema; Rosell-Vives, Elisabet; Adan, Jaume; Cases, Claudia
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004054215	A1	20060511	DE 2004-102004054215	20041110
AU 2005304087	A1	20060518	AU 2005-304087	20051020
CA 2587609	A1	20060518	CA 2005-2587609	20051020
WO 2006050800	A1	20060518	WO 2005-EP11304	20051020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1809630	A1	20070725	EP 2005-800485	20051020
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: DE 2004-102004054215 20041110
WO 2005-EP11304 20051020
OTHER SOURCE(S): CASREACT 144:468194
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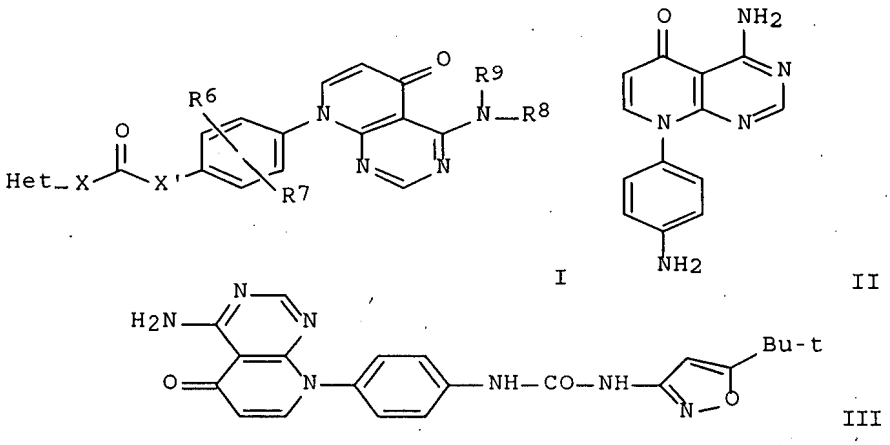
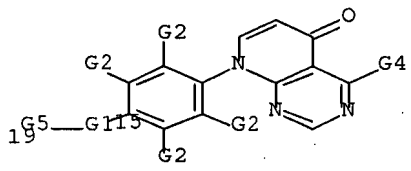


I II III

AB Title compds. I [X, X' = NH with provisos; R6, R7 = H, halo, OH, etc; R8, R9 = H, A; Het = heteroarom. with 1-4 N, O, or S atoms; A = halosubstituted alkyl] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of diamine II and 3-amino-5-tert-butylisoxazole and 4-nitrophenyl chloroformate afforded aminopyridopyrimidinone III. Compds. I are claimed to be Raf and Tie-2 kinase inhibitors (no data provided).

MSTR 1



G1 = C(O)
G4 = NH2
G5 = quinolinyl
Patent location: claim 1
Note: and pharmaceutically acceptable derivatives, solvates, salts, and tautomers
Stereochemistry: and stereoisomers

L43 ANSER 2 OF 3 MARPAT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:412515 MARPAT Full-text
TITLE: Heterocyclic substituted bisarylurea derivatives as kinase inhibitors and their preparation, pharmaceutical compositions, and use for treatment of diseases mediated or propagated by kinases
INVENTOR(S): Stieber, Frank; Jonczyk, Alfred; Hoelzemann, Guenter; Buchstaller, Hans-Peter; Burgdorf, Lars Thore; Rautenberg, Wilfried; Greiner, Hartmut
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040056	A1	20060420	WO 2005-EP10744	20051006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005293839	A1	20060420	AU 2005-293839	20051006
CA 2584185	A1	20060420	CA 2005-2584185	20051006
EP 1799669	A1	20070627	EP 2005-789864	20051006
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101039932	A	20070919	CN 2005-80035117	20051006
IN 2007KN01680	A	20070727	IN 2007-KN1680	20070511
PRIORITY APPLN. INFO.:			EP 2004-24369	20041013
			EP 2005-16845	20050803
			WO 2005-EP10744	20051006

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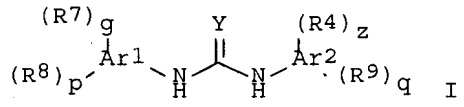

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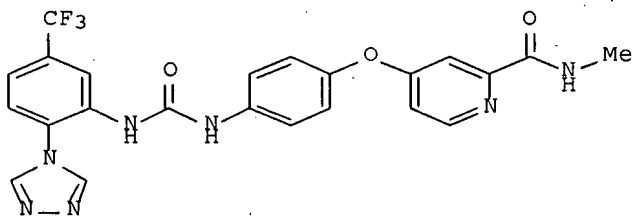
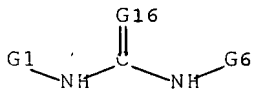


II

AB The invention relates to heterocyclic substituted bisarylurea derivs. of formula I, the use of the compds. of formula I as inhibitors of one or more kinases, the use of the compds. of formula I for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient. Compds. of formula I wherein R4 is (X-Ar3)α-(R10)10; Ar1, Ar2, and Ar3 are independently 5- to 14-membered

unsatd. or aromatic cyclic hydrocarbon, or 2- to 10-membered unsatd. or aromatic heterocyclic residue, preferably 1 to 5 heteroatoms selected from N, O, and S; α is 0, 1, or 2; r, z, and p are independently 0, 1, 2, 3, 4 or 5; R7 is nitrogen containing heterocyclic moiety bound directly to Ar1 via a nitrogen atom, etc.; R8, R9, and R10 are independently H, (alkoxy)alkyl, alkenyl, C3-7 cycloalkyl, alkenylcycloalkyl, halo, CH2halo, CH(halo)2, C(halo)3, NO2, etc.; Y is O, S, NH and derivs., (un)substituted CHNO2, (un)substituted CHCN, or C(CN)2; g is 1, 2, or 3; q is 0, 1, 2, 3 or 4; and their pharmaceutically acceptable derivs., salts and solvates thereof are claimed in this invention. Example compound II was prepared by chlorination and esterification of pyridine-2-carboxylic acid to give Me 4-chloropyridine-2-carboxylate, which underwent amidation with methylamine to give 4-chloropyridine-2-carboxylic acid methylamide, which was reacted with 4-aminophenol; the resulting 4-(4-aminophenoxy)pyridine-2-carboxylic acid methylamine reacted with p-nitrophenyl chloroformate and 4-(2-amino-4-trifluoromethylphenyl)-1,2,4-triazole to give example compound II. All the invention compds. were evaluated for their activity as modulators and inhibitors of kinases. From the assay, it was determined that these compds. preferably inhibit VEGF-stimulated mitogenesis of human vascular endothelial cells in cultures with IC50 values of 0.01-5.0 μM.

MSTR 1



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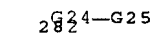
G6 = 282



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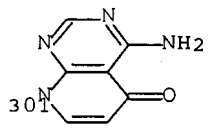
G25 = 301



Patent location: claim 1
Note: or pharmaceutically acceptable derivatives, salts and solvates

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 3 OF 3 MARPAT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:482058 MARPAT Full-text
TITLE: Preparation of pyridopyrimidinones as inhibitors of tyrosine and Raf kinases for treatment of tumors.
INVENTOR(S): Hoelzemann, Guenter; Crassier, Helene; Ackermann, Karl-August; Staehle, Wolfgang; Jonczyk, Alfred; Rautenberg, Wilfried; Mitjans, Francesc; Rosell-Vives, Elisabet; Adan, Jaume; Soler, Riera Marta
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047283	A1	20050526	WO 2004-EP11549	20041014
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10352979	A1	20050609	DE 2003-10352979	20031113
AU 2004288727	A1	20050526	AU 2004-288727	20041014
CA 2545558	A1	20050526	CA 2004-2545558	20041014
EP 1682548	A1	20060726	EP 2004-790407	20041014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007510679	T	20070426	JP 2006-538680	20041014
US 2007099910	A1	20070503	US 2007-579222	20070109
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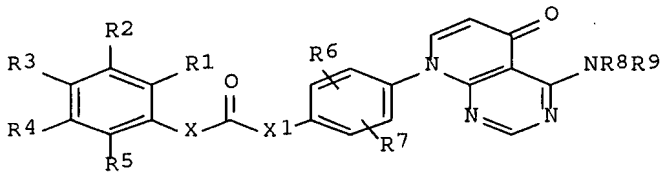
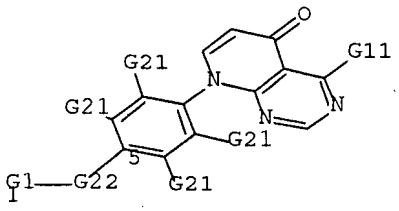


I

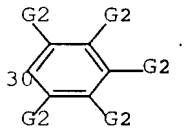
AB Title compds. [I; R1-R5 = H, A, OH, OA, alkenyl, alkynyl, NO2, NH2, NHA, NA2, halo, cyano, CO2H, COA, CO2A, O-Het, etc.; pairs of R1-R5 = OCH2CH2, OCH2O, OCH2CH2O, OCF2O, OCA2O; R6, R7 = H, A halo, OA, cyano; R8, R9 = H, alkyl

optionally interrupted by O, N; Het = mono- or bicyclic (unsatd.) (aromatic) heterocyclyl; A = (fluoro- and/or chloro-substituted) alkyl; X, X1 = NH, null], were prepared as inhibitors of tyrosine and Raf kinases (no data). Thus, 4-amino-8-(4-aminophenyl)-8H-pyrido[2,3-d]pyrimidin-5-one (preparation given) was stirred overnight with 2-fluoro-5-trifluoromethylphenyl isocyanate and Et3N in CH2Cl2 to give 1-[4-(4-amino-5-oxo-5H-pyrido[2,3- d]pyrimidin-8-yl)phenyl]-3-(2-fluoro-5-trifluoromethylphenyl)urea.

MSTR 1



G1 = 30



G11 = NH2
G22 = C(O)
Patent location: claim 1
Note: and pharmaceutically acceptable derivatives, solvates, salts and tautomers
Stereochemistry: and stereoisomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DICTIONARY FILE UPDATES:  11 OCT 2007  HIGHEST RN 950420-07-2

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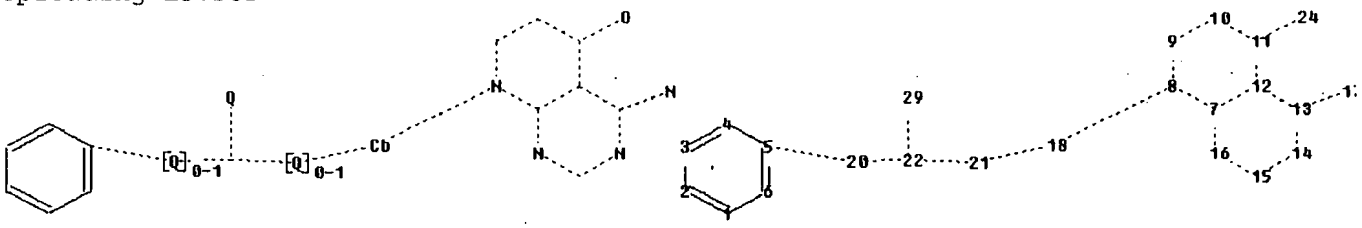


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ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15  16
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5-20  8-18  11-24  13-17  18-21  20-22  21-22  22-29
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  7-16  8-9  9-10  10-11  11-12  12-13  13-
14
14-15  15-16
exact/norm bonds :
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14-15  15-16  18-21  20-22  21-22  22-29
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


Connectivity :
22:3 E exact RC ring/chain
Match level :
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24:CLASS  29:CLASS
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Number of Carbon Atoms  : less than 7
Type of Ring System     : Monocyclic

Element Count :
Node 18: Limited
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=> file zcaplus
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FILE COVERS 1907 - 12 Oct 2007  VOL 147 ISS 17
FILE LAST UPDATED: 11 Oct 2007  (20071011/ED)

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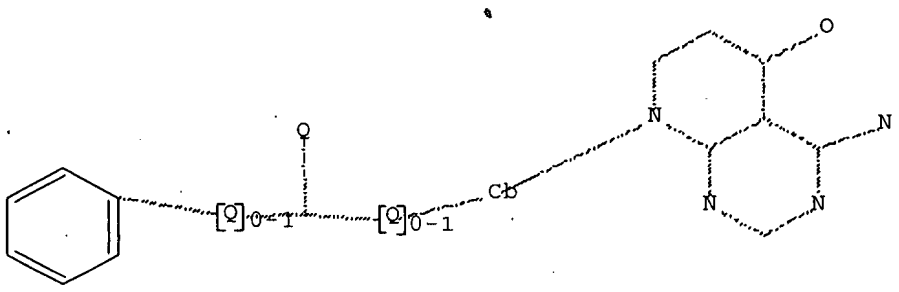

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L8             6 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L7


=> s L8 not (L41 or L42)
L49            4 L8 NOT (L41 OR L42)

=> file beilstein
FILE 'BEILSTEIN' ENTERED AT 14:52:21 ON 12 OCT 2007
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FILE LAST UPDATED ON September 26, 2007

FILE COVERS 1771 TO 2007.
*** FILE CONTAINS 10.119,480  SUBSTANCES ***

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   Reaction data for BEILSTEIN compounds may be displayed
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   (reactions).  A substance answer set retrieved after the search
   for a chemical name, a compounds with available reaction
   information by combining with PRE/FA, REA/FA or more generally
   with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
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   partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

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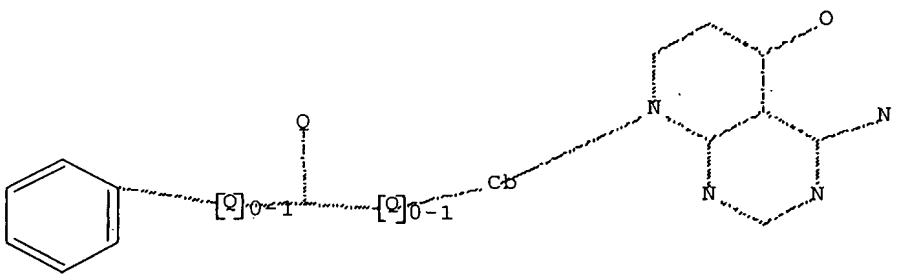

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FILE CONTENT: 1961-PRESENT VOL 147 ISS 14 (20071005/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2007197781 23 AUG 2007
DE 102006038325 16 AUG 2007
EP 1820789 22 AUG 2007
JP 2007213924 23 AUG 2007
WO 2007098716 07 SEP 2007
GB 2435041 15 AUG 2007
FR 2897532 24 AUG 2007
RU 2304584 20 AUG 2007
CA 2579188 17 AUG 2007

Expanded G-group definition display now available.

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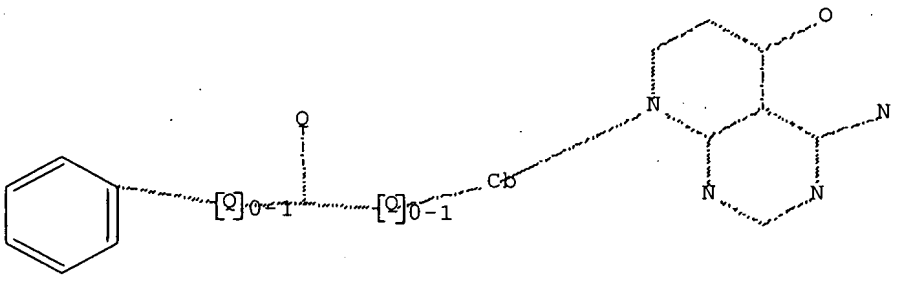
L5 STR



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=> file wpix
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>>> Indian patent publication number format enhanced in DWPI - see NEWS <<<

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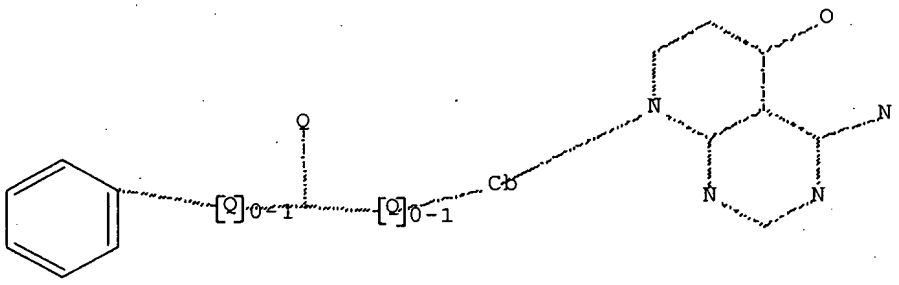


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=> file stnguide
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LAST RELOADED: Oct  5, 2007 (20071005/UP).

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ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
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PROCESSING COMPLETED FOR L49
PROCESSING COMPLETED FOR L10
PROCESSING COMPLETED FOR L51
PROCESSING COMPLETED FOR L50
L52             4 DUP REM L49 L10 L51 L50 (5 DUPLICATES REMOVED)
                  ANSWERS '1-4' FROM FILE ZCAPLUS

=> d ibib abs hitstr L52 1-4

L52  ANSWER 1 OF 4  ZCAPLUS  COPYRIGHT 2007 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2007:874290  ZCAPLUS  Full-text
DOCUMENT NUMBER:          147:250609
TITLE:                    Methods using SGK kinase inhibitors for interfering
                          with glucocorticoid-induced gastric acid secretion
INVENTOR(S):              Lang, Florian
PATENT ASSIGNEE(S):       Merck Patent G.m.b.H., Germany
SOURCE:                   PCT Int. Appl., 45pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     WO 2007087985         A1    20070809    WO 2007-EP350            20070117
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
             KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2006-1934 A 20060131

OTHER SOURCE(S): MARPAT 147:250609

AB A method for altering glucocorticoid-induced gastric acid secretion comprises contacting cells expressing serum and glucocorticoid inducible kinase (SGK) with a substance that modulates the glucocorticoid inducible kinase. The invention also relates to diagnosis and to the identification of compds. that may be agonists and antagonists that are potentially useful in therapy of pathol. gastric acid secretion.

IT ***852221-35-3 852221-37-5 852221-39-7***
852221-41-1 852221-43-3 852221-45-5
852221-47-7 852221-49-9 852221-51-3
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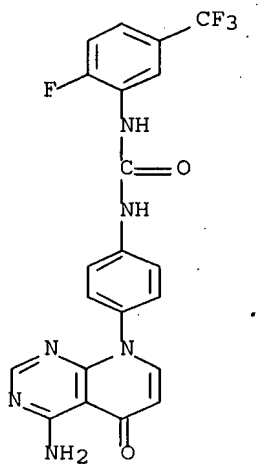
852221-90-0 852221-92-2 852221-94-4
852221-96-6 852221-98-8 866452-36-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SGK kinase inhibitors for interfering with glucocorticoid-induced gastric acid secretion)

RN 852221-35-3 ZCAPLUS

CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-37-5 ZCAPLUS

CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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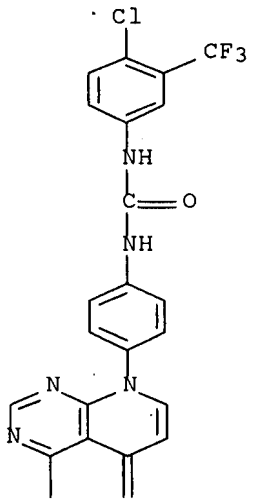

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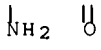

RN 852221-39-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,4-difluorophenyl)- (CA INDEX NAME)

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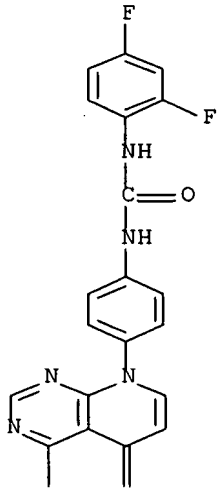
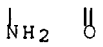
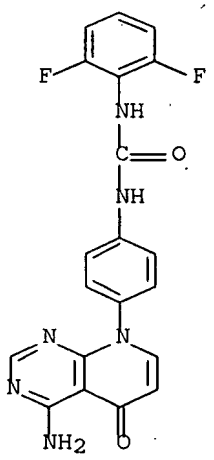
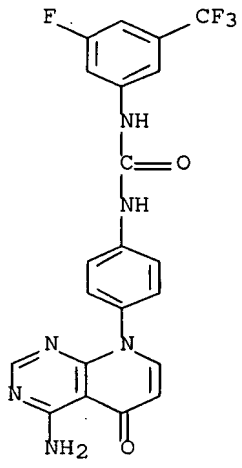




RN 852221-41-1 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,6-difluorophenyl)- (CA INDEX NAME)



RN 852221-43-3 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-45-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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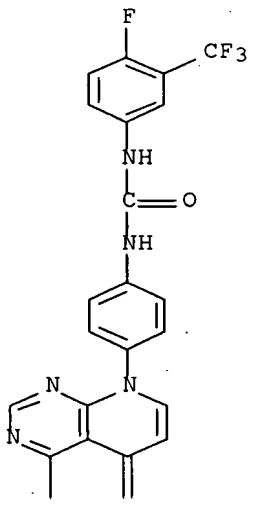

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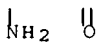

RN 852221-47-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-methyl-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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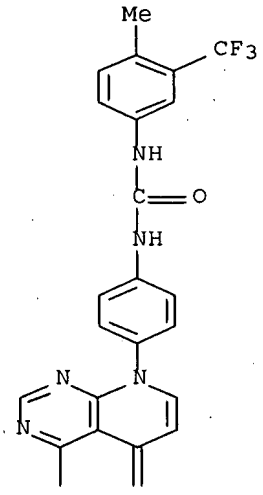

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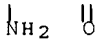

RN 852221-49-9 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,3,4,5,6-pentafluorophenyl)- (CA INDEX NAME)

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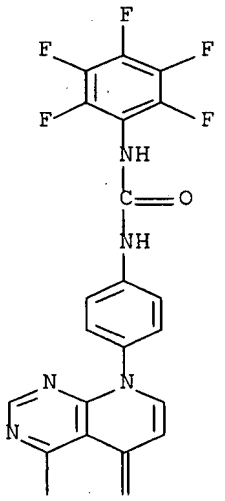

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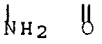

RN 852221-51-3 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,4-dibromo-6-fluorophenyl)- (CA INDEX NAME)

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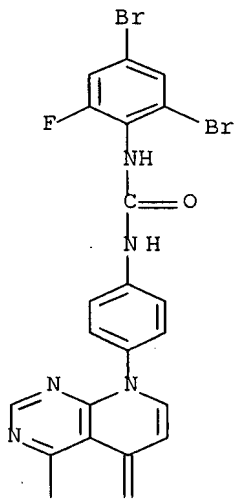

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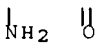
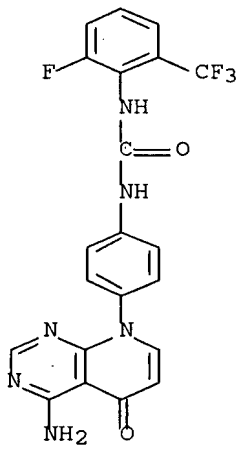
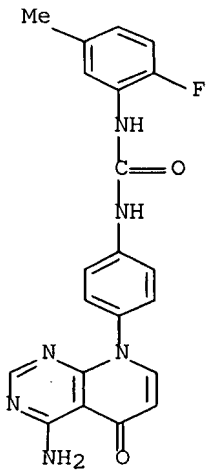


RN 852221-53-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-6-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-55-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2-fluoro-5-methylphenyl)- (CA INDEX NAME)



RN 852221-57-9 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

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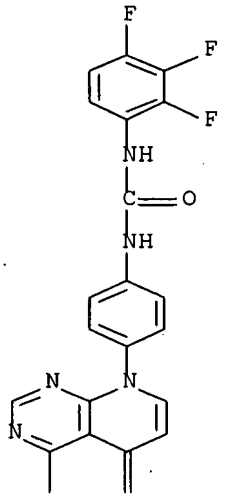

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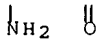

RN 852221-59-1 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(4-bromo-2,6-difluorophenyl)- (CA INDEX NAME)

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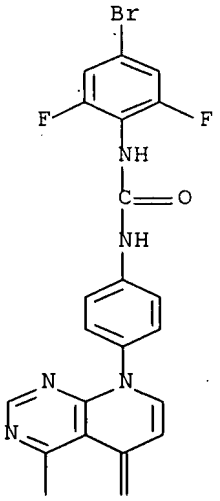

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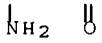
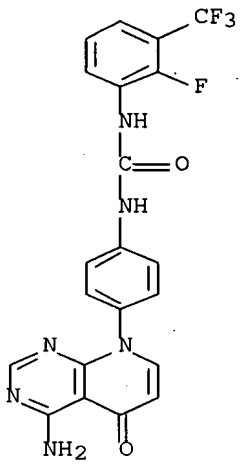
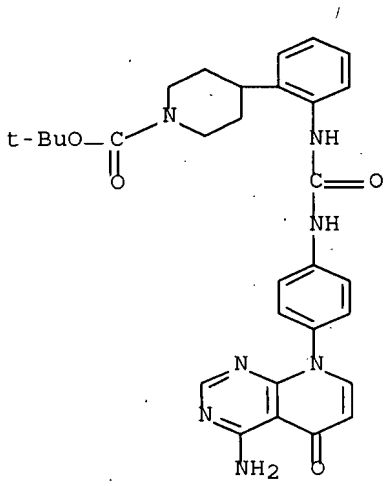


RN 852221-61-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

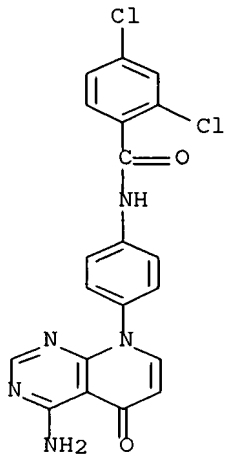


RN 852221-63-7 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[[[[4-(4-amino-5-oxopyrido[2,3-

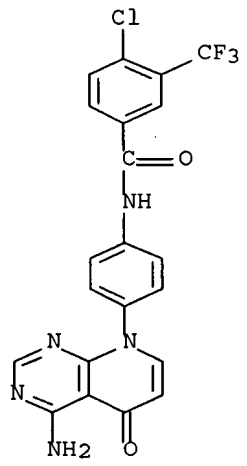
d]pyrimidin-8(5H)-yl)phenyl]amino]carbonyl]amino]phenyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)



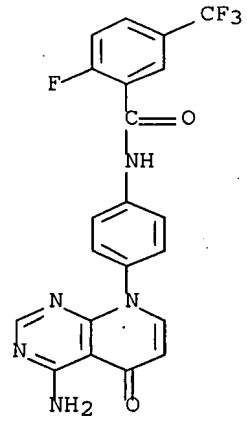
RN 852221-65-9 ZCAPLUS
CN Benzamide, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-2,4-dichloro- (CA INDEX NAME)



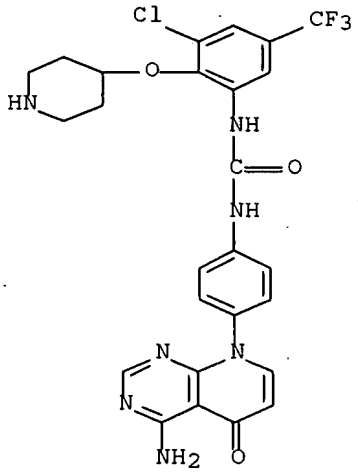
RN 852221-67-1 ZCAPLUS
CN Benzamide, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-4-chloro-3-(trifluoromethyl)- (CA INDEX NAME)



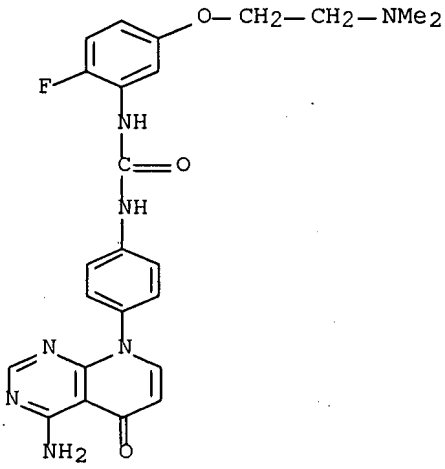
RN 852221-69-3 ZCAPLUS
CN Benzamide, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)



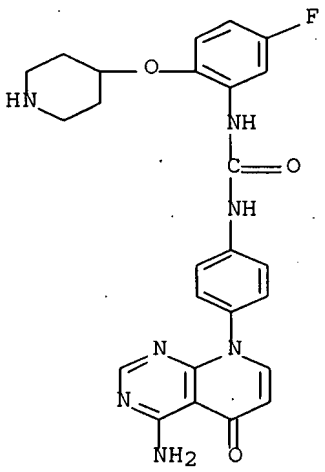
RN 852221-70-6 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-2-(4-piperidinyloxy)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-72-8 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-[2-(dimethylamino)ethoxy]-2-fluorophenyl]- (CA INDEX NAME)



RN 852221-74-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-fluoro-2-(4-piperidinyloxy)phenyl]- (CA INDEX NAME)



RN 852221-76-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-chloro-2-(4-piperidinyloxy)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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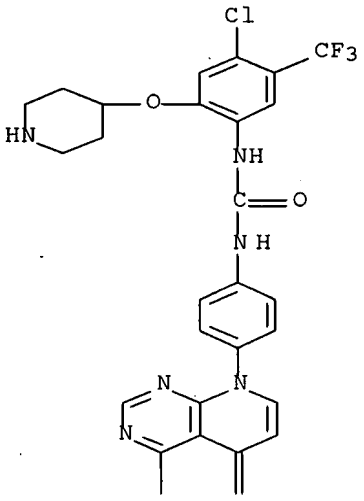

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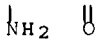
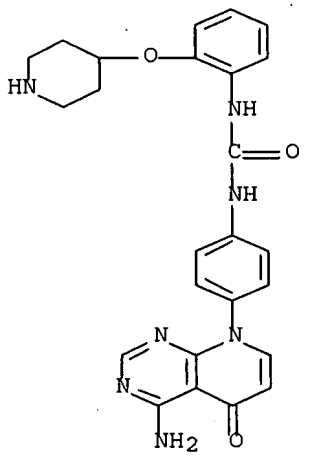
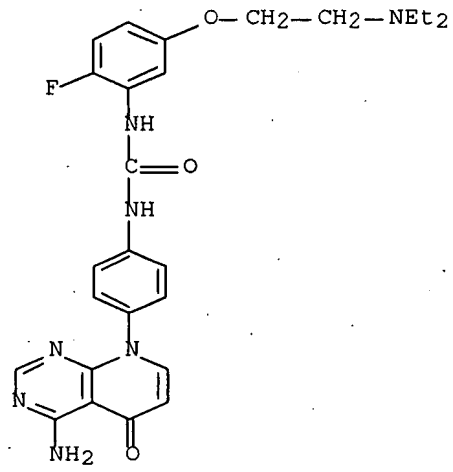


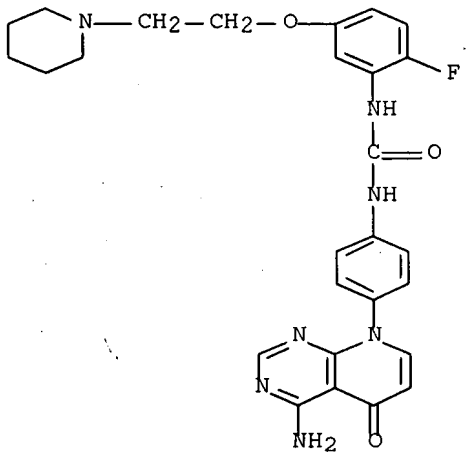
RN 852221-78-4 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-(4-piperidinyloxy)phenyl]- (CA INDEX NAME)



RN 852221-80-8 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-[2-(diethylamino)ethoxy]-2-fluorophenyl]- (CA INDEX NAME)



RN 852221-82-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-5-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 852221-84-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-[2-(dimethylamino)ethoxy]-4-fluorophenyl]- (CA INDEX NAME)

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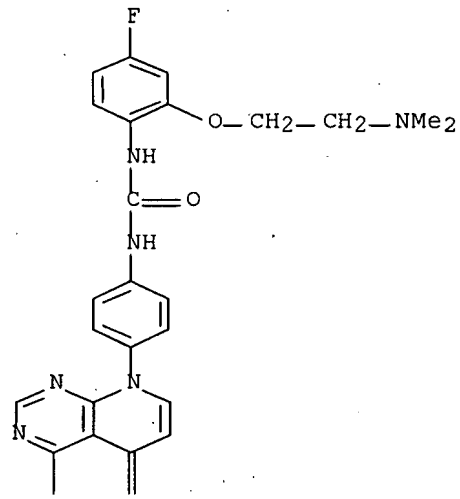

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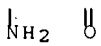

RN 852221-86-4 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-[2-(diethylamino)ethoxy]-4-fluorophenyl]- (CA INDEX NAME)

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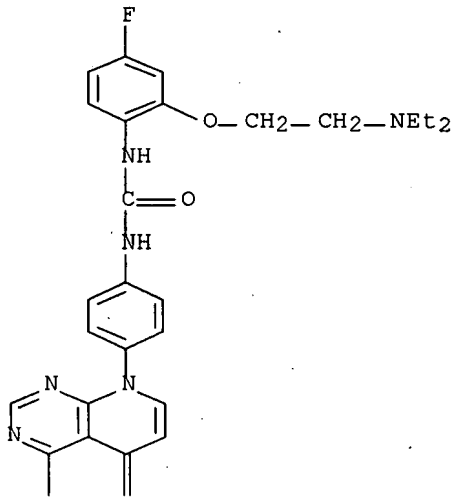

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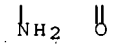

RN 852221-90-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-fluoro-2-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

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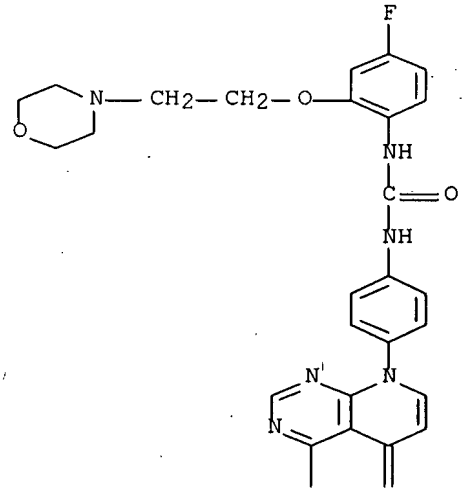

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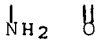

RN 852221-92-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-4-[2-(dimethylamino)ethoxy]phenyl]- (CA INDEX NAME)

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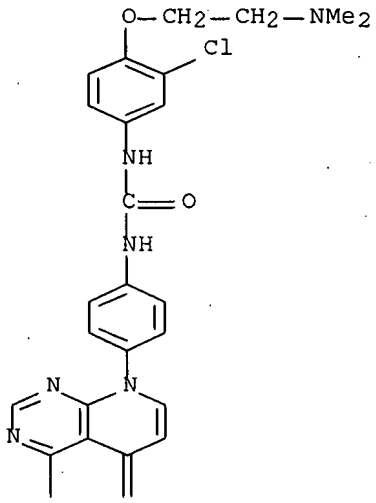

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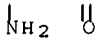

RN 852221-94-4 ZCAPLUS
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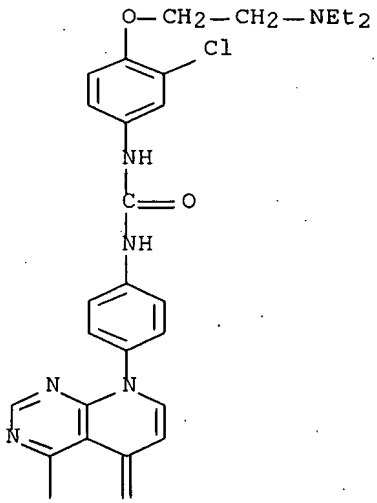
PAGE 1-A



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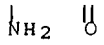

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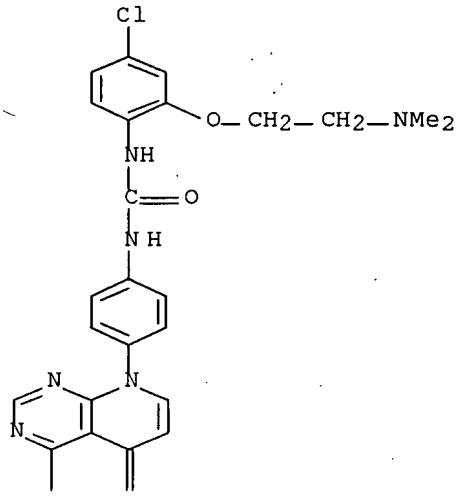
PAGE 1-A



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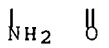

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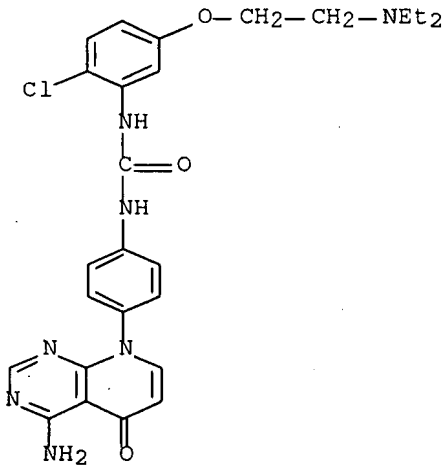

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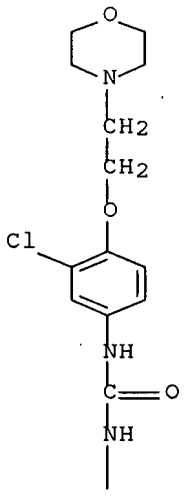

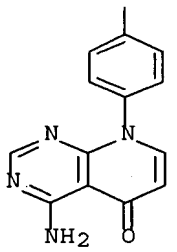

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 2 OF 4 ZCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2005:1103581 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:360132
TITLE: Methods for modulating glutamate receptors for treating neuropsychiatric disorders comprising the use of modulators of serum and glucocorticoid inducible kinases
INVENTOR(S): Lang, Florian
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094829	A1	20051013	WO 2005-EP1245	20050208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005229496	A1	20051013	AU 2005-229496	20050208
CA 2559136	A1	20051013	CA 2005-2559136	20050208
EP 1732563	A1	20061220	EP 2005-707256	20050208
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV				
CN 1929846	A	20070314	CN 2005-80007793	20050208
BR 2005008574	A	20070814	BR 2005-8574	20050208
US 2007191326	A1	20070816	US 2006-592106	20060908
KR 2007015148	A	20070201	KR 2006-718526	20060911
IN 2006KN02908	A	20070608	IN 2006-KN2908	20061010

PRIORITY APPLN. INFO.: EP 2004-5761 A 20040311

WO 2005-EP1245 W 20050208

OTHER SOURCE(S): MARPAT 143:360132

AB The invention discloses modulation of the activity of serum and glucocorticoid inducible kinases to restore glutamate receptor activity. Also disclosed are methods and compds. useful for the detection and treatment of neuropsychiatric disorders.

IT ***852221-35-3 852221-37-5 852221-39-7***
852221-41-1 852221-43-3 852221-45-5
852221-47-7 852221-49-9 852221-51-3
852221-53-5 852221-55-7 852221-57-9
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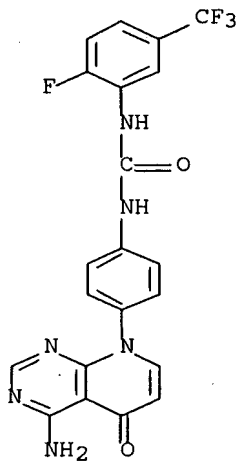
852221-76-2 852221-78-4 852221-80-8
852221-82-0 852221-84-2 852221-86-4
852221-88-6 852221-90-0 852221-92-2
852221-94-4 852221-96-6 852221-98-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serum and glucocorticoid inducible kinase modulators for glutamate receptor modulation and treatment of neuropsychiatric disorders)

RN 852221-35-3 ZCAPLUS

CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



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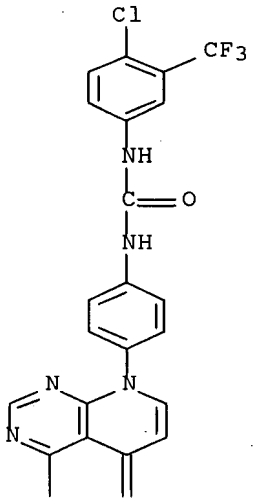

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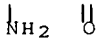

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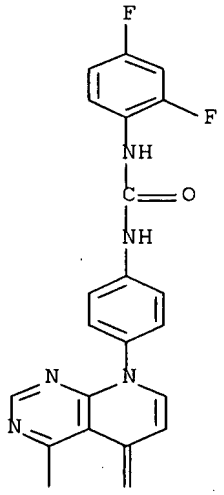

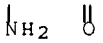

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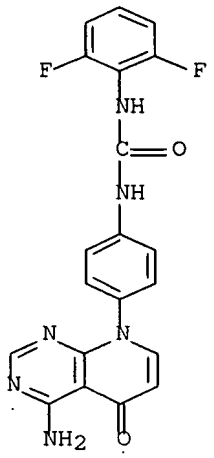

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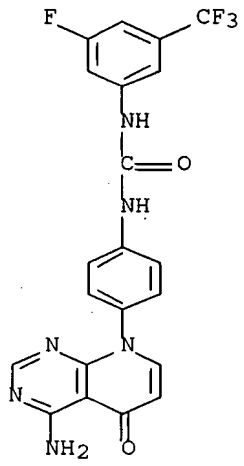

RN 852221-45-5 ZCAPLUS
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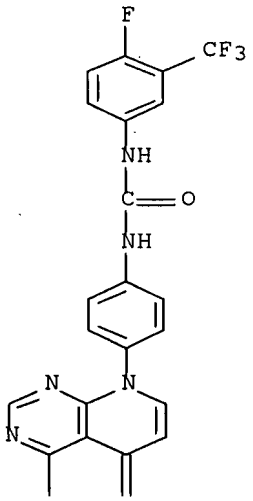

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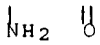

RN 852221-47-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-methyl-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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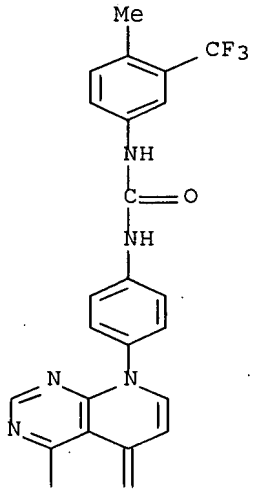

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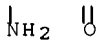

RN 852221-49-9 ZCAPLUS
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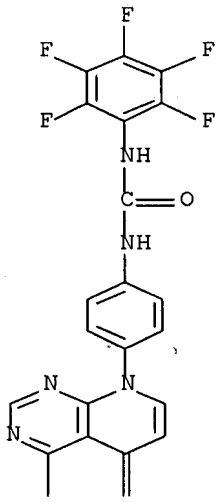

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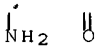

RN 852221-51-3 ZCAPLUS
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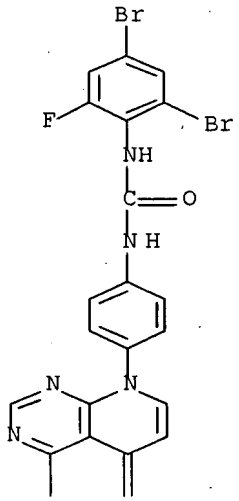

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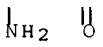

RN 852221-53-5 ZCAPLUS
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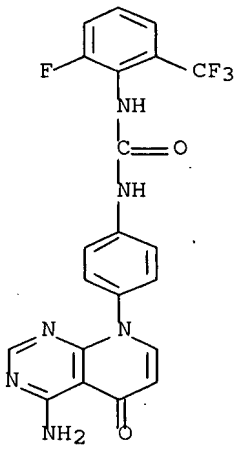

RN 852221-55-7 ZCAPLUS
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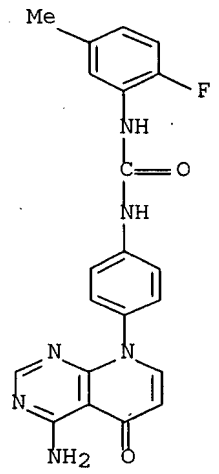

RN 852221-57-9 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

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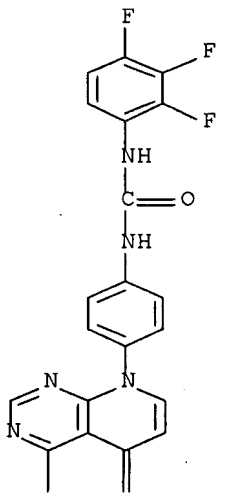

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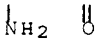

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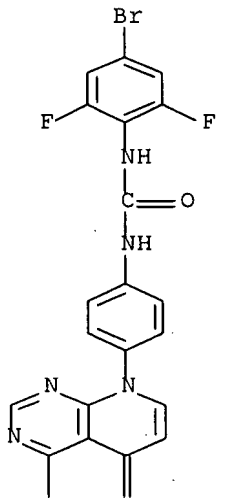

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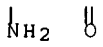
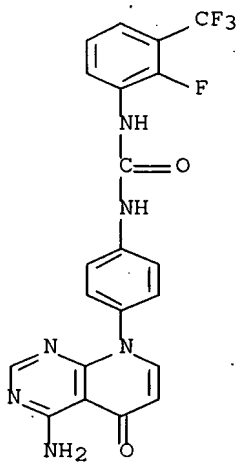
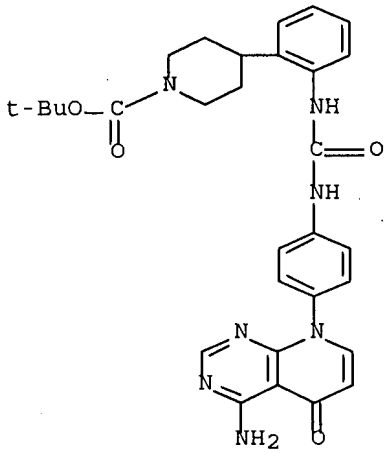


RN 852221-61-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-63-7 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[[[[4-(4-amino-5-oxopyrido[2,3-

d]pyrimidin-8(5H)-yl)phenyl]amino]carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852221-65-9 ZCAPLUS
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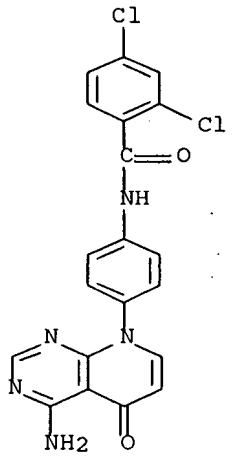

RN 852221-67-1 ZCAPLUS
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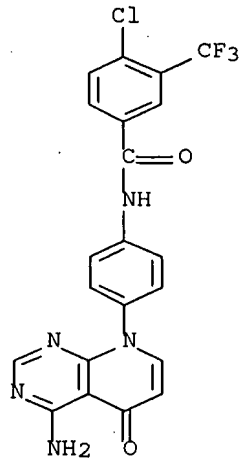

RN 852221-69-3 ZCAPLUS
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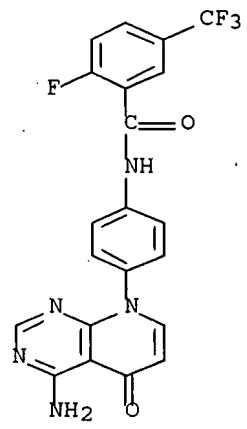

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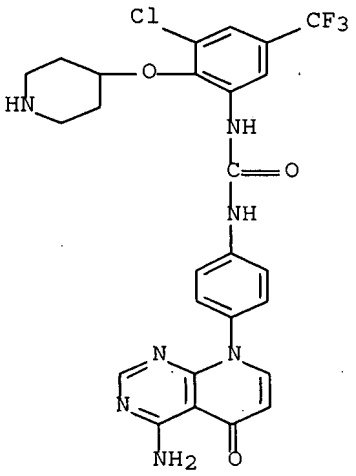

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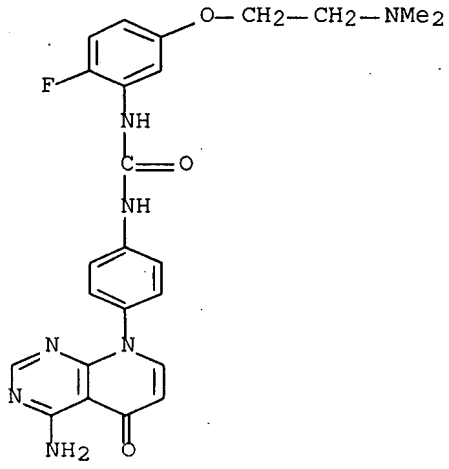
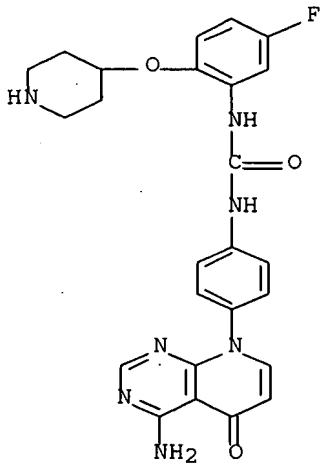


RN 852221-74-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-fluoro-2-(4-piperidinyloxy)phenyl]- (CA INDEX NAME)



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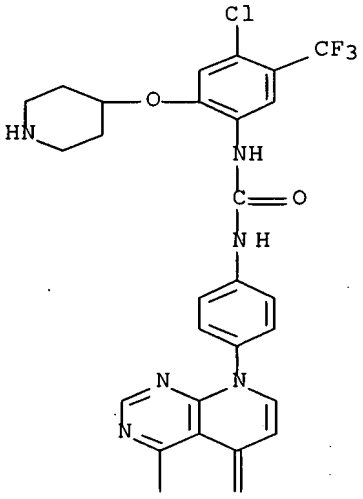

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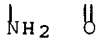

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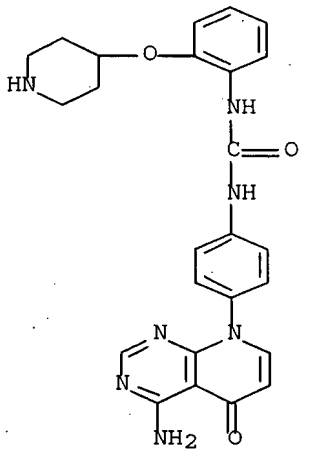

RN 852221-80-8 ZCAPLUS
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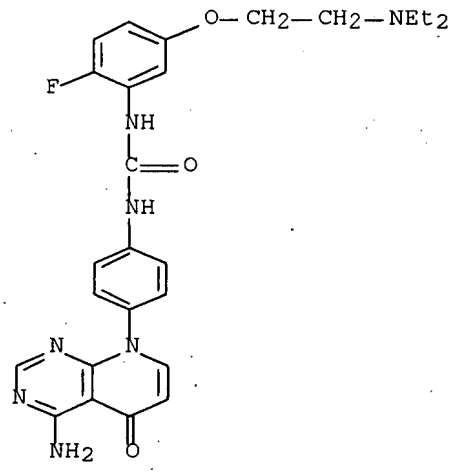

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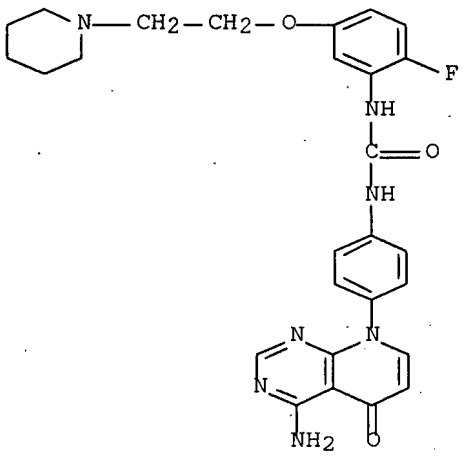

RN 852221-84-2 ZCAPLUS
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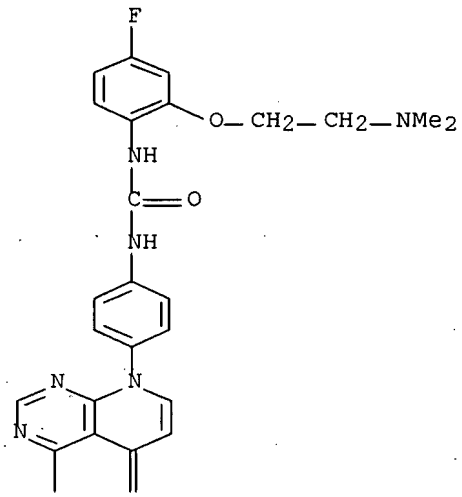

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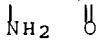

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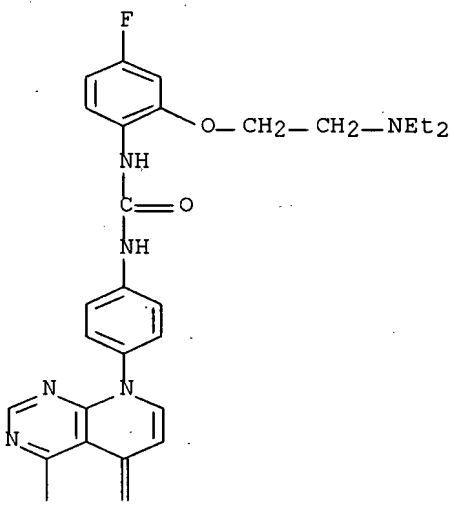

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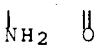

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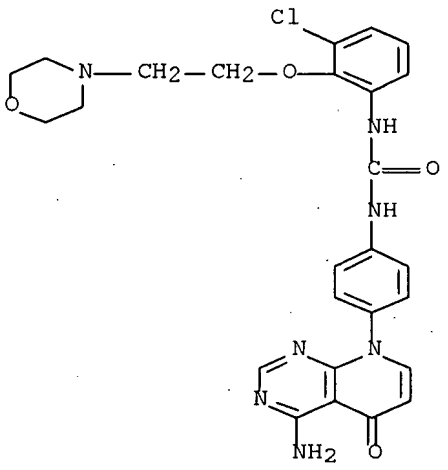

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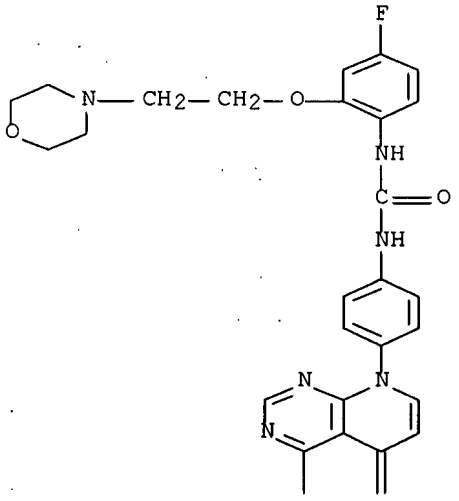

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RN 852221-92-2 ZCAPLUS
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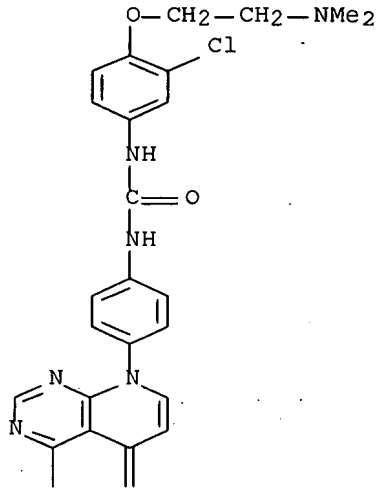

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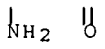

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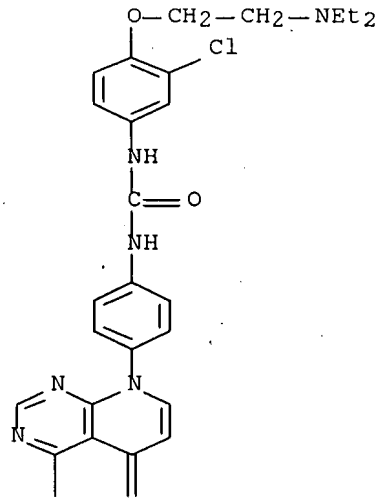

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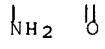

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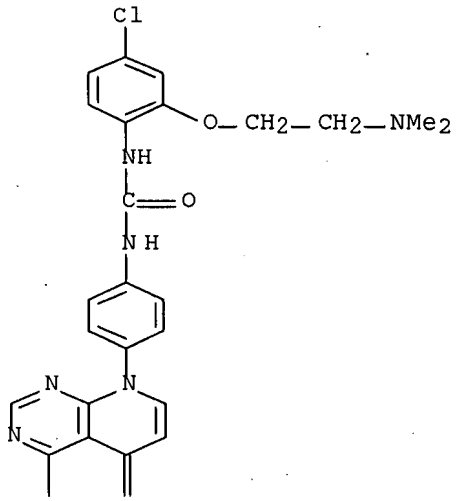

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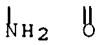

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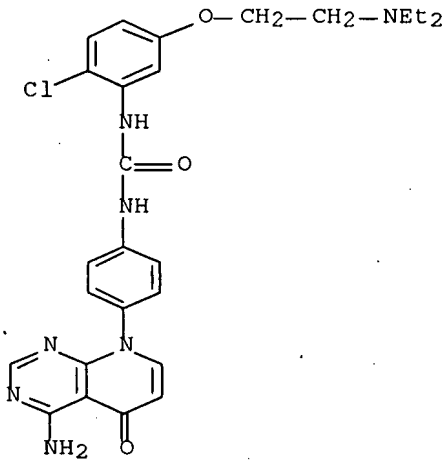

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L52 ANSWER 3 OF 4 ZCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2005:1103556 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:379867
TITLE: Modulation of connective tissue growth factor activity for diagnosis and treatment of fibrosis
INVENTOR(S): Lang, Florian
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094796	A2	20051013	WO 2005-EP1246	20050208
WO 2005094796	A3	20061228		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2559141	A1	20051013	CA 2005-2559141	20050208
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BR 2005008350	A	20070724	BR 2005-8350	20050208
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MX 2006PA10102	A	20061115	MX 2006-PA10102	20060905
US 2007203085	A1	20070830	US 2006-592111	20060908
KR 2007015149	A	20070201	KR 2006-718527	20060911
IN 2006KN02909	A	20070608	IN 2006-KN2909	20061010
PRIORITY APPLN. INFO.:			EP 2004-5767 A	20040311
			WO 2005-EP1246 W	20050208

AB An increased expression of connective tissue growth factor strongly correlates with the presence and upregulation of the serum/glucocorticoid inducible kinase SGK1. Modulation of the of glucocorticoid inducible kinases, SGK1, SGK2, and SGK3 to restore connective tissue growth factor activity is described. Methods and acyl hydrazone and pyridopyrimidine compds. useful for the detection and treatment of fibroproliferative disorders are provided.

IT ***852221-35-3 852221-37-5 852221-39-7***
852221-41-1 852221-43-3 852221-45-5
852221-47-7 852221-49-9 852221-51-3
852221-53-5 852221-55-7 852221-57-9
852221-59-1 852221-61-5 852221-63-7
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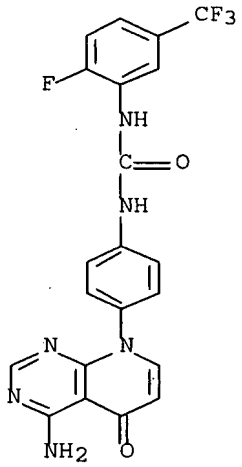
852221-82-0 852221-84-2 852221-86-4
852221-90-0 852221-92-2 852221-94-4
852221-96-6 852221-98-8 866452-36-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acyl hydrazones and pyridopyrimidines as inhibitors of serum/glucocorticoid inducible kinases for diagnosis and treatment of fibrosis)

RN 852221-35-3 ZCAPLUS

CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-37-5 ZCAPLUS

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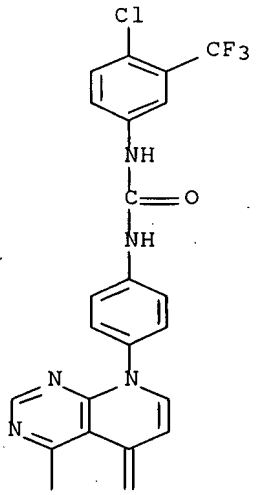

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RN 852221-39-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,4-difluorophenyl)- (CA INDEX NAME)

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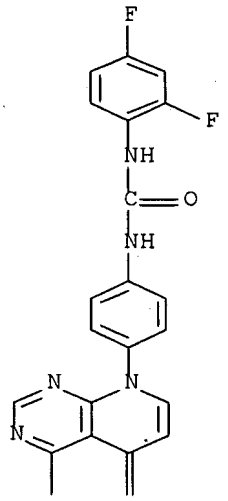

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RN 852221-41-1 ZCAPLUS
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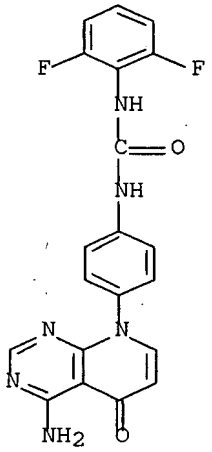

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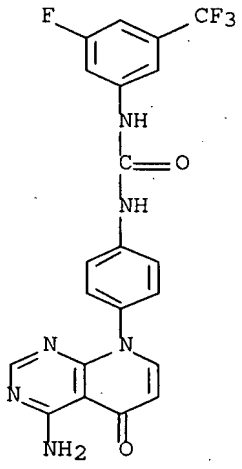

RN 852221-45-5 ZCAPLUS
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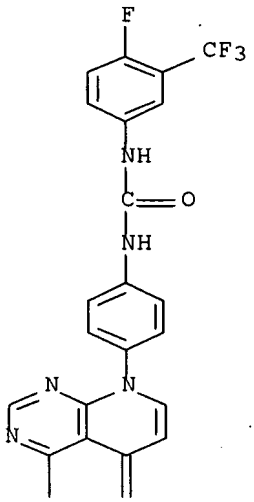

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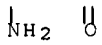

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CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-methyl-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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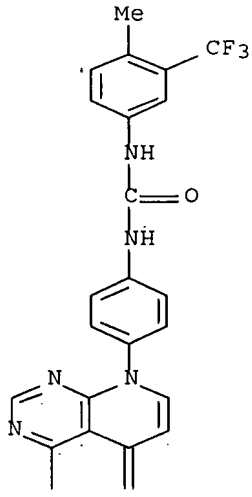

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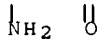

RN 852221-49-9 ZCAPLUS
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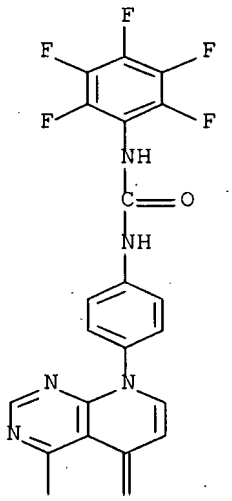

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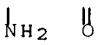

RN 852221-51-3 ZCAPLUS
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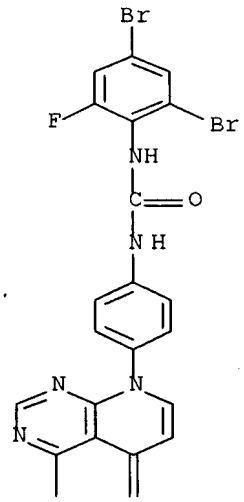

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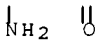

RN 852221-53-5 ZCAPLUS
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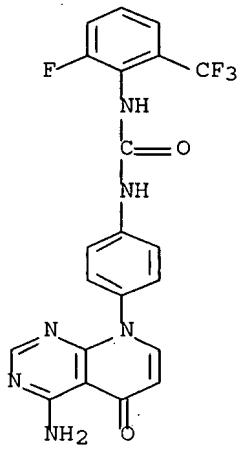

RN 852221-55-7 ZCAPLUS
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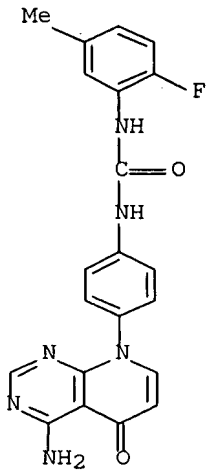

RN 852221-57-9 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

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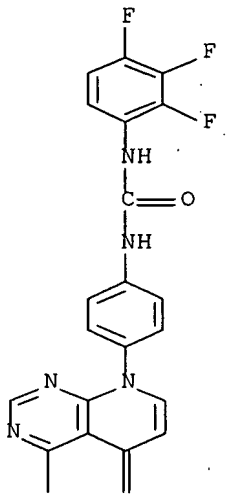

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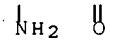
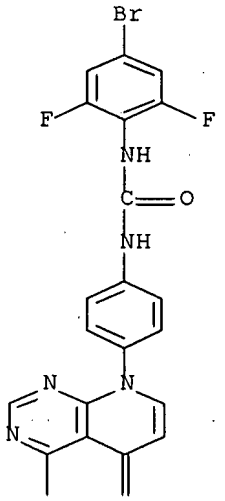


RN 852221-59-1 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(4-bromo-2,6-difluorophenyl)- (CA INDEX NAME)

PAGE 1-A



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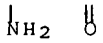

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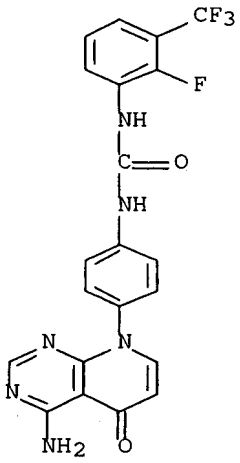
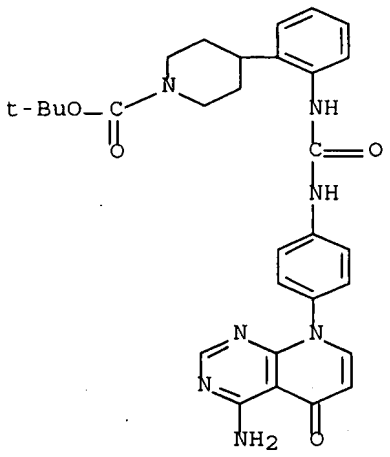
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

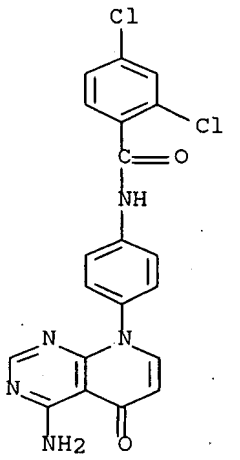


RN 852221-63-7 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[[[[4-(4-amino-5-oxopyrido[2,3-

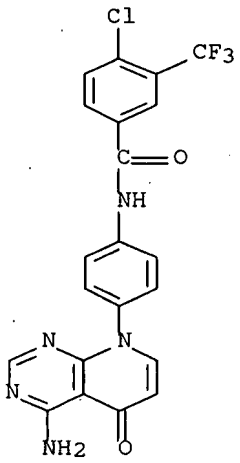
d]pyrimidin-8(5H)-yl)phenyl]amino]carbonyl]amino]phenyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 852221-65-9 ZCAPLUS
CN Benzamide, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-2,4-dichloro- (CA INDEX NAME)



RN 852221-67-1 ZCAPLUS
CN Benzamide, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-4-chloro-3-(trifluoromethyl)- (CA INDEX NAME)



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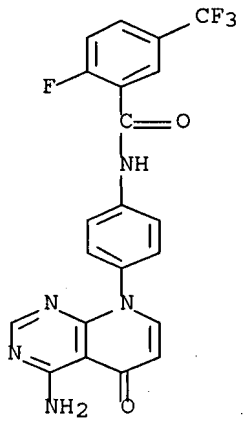

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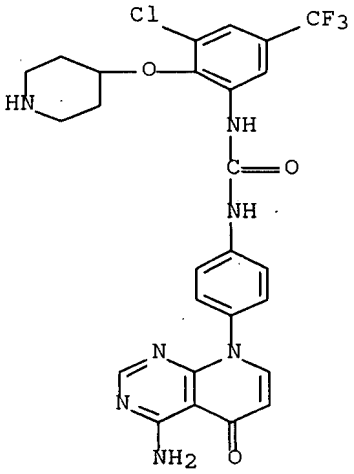
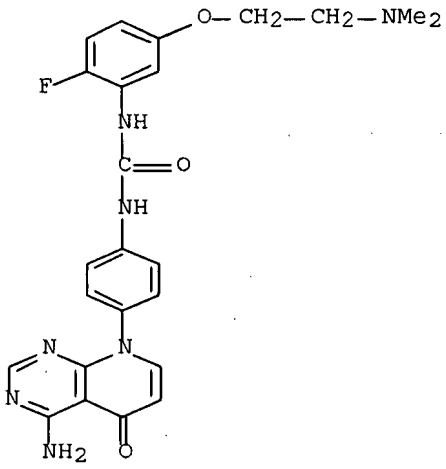
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-2-(4-piperidinyloxy)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-72-8 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-[2-(dimethylamino)ethoxy]-2-fluorophenyl]- (CA INDEX NAME)



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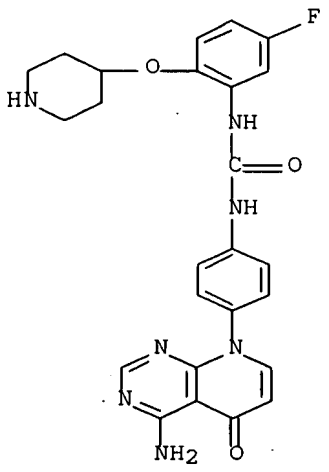
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-fluoro-2-(4-piperidinyloxy)phenyl]- (CA INDEX NAME)



RN 852221-76-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-chloro-2-(4-piperidinyloxy)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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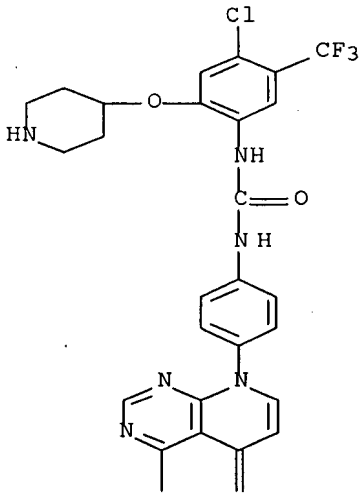

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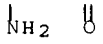

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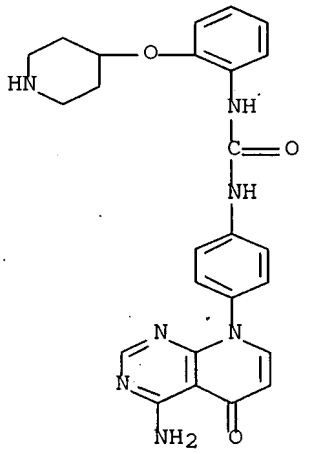

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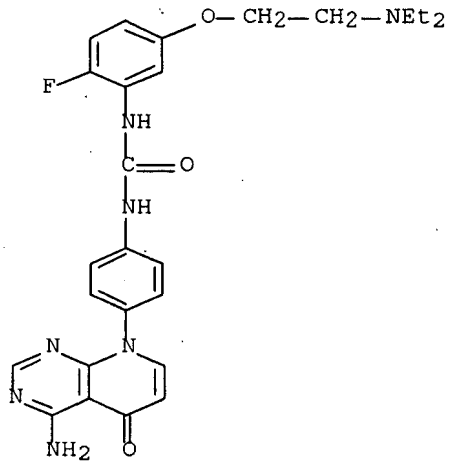
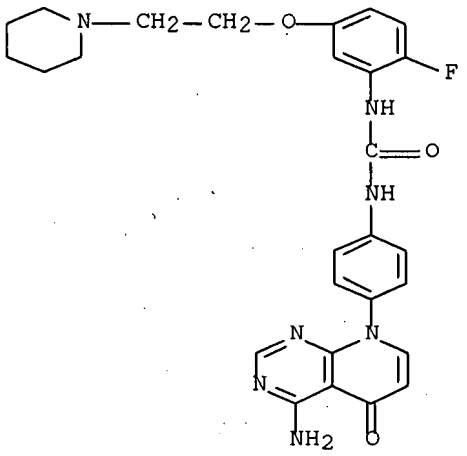
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-[2-(diethylamino)ethoxy]-2-fluorophenyl]- (CA INDEX NAME)



RN 852221-82-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-5-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 852221-84-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-[2-(dimethylamino)ethoxy]-4-fluorophenyl]- (CA INDEX NAME)

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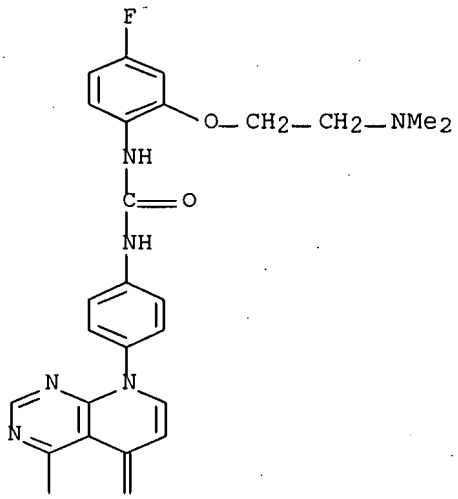

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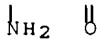

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CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-[2-(diethylamino)ethoxy]-4-fluorophenyl]- (CA INDEX NAME)

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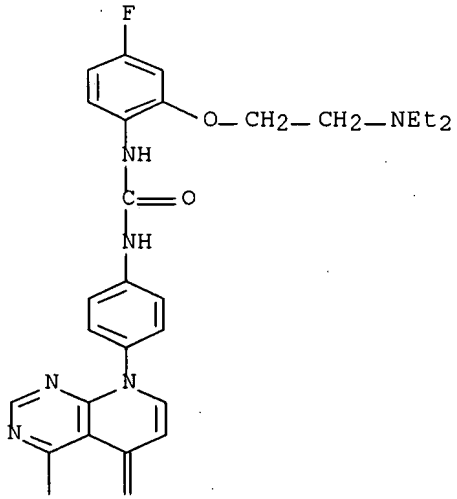

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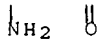

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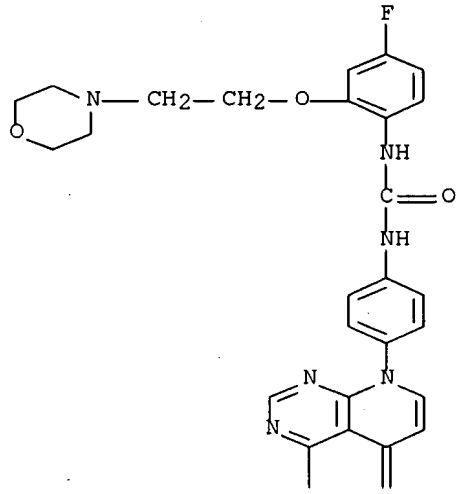

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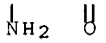

RN 852221-92-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-4-[2-(dimethylamino)ethoxy]phenyl]- (CA INDEX NAME)

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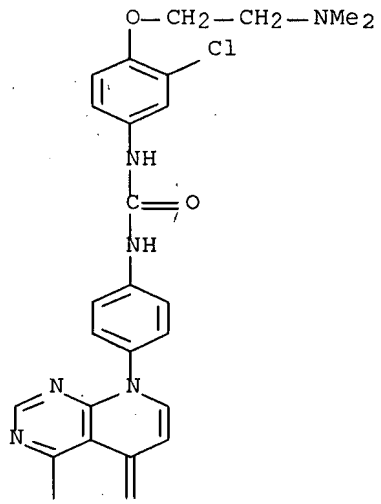

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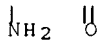

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CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-4-[2-(diethylamino)ethoxy]phenyl]- (CA INDEX NAME)

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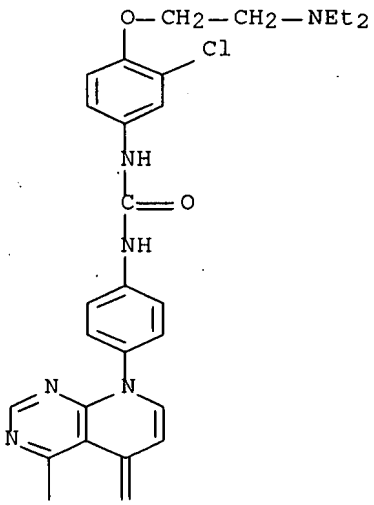

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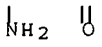

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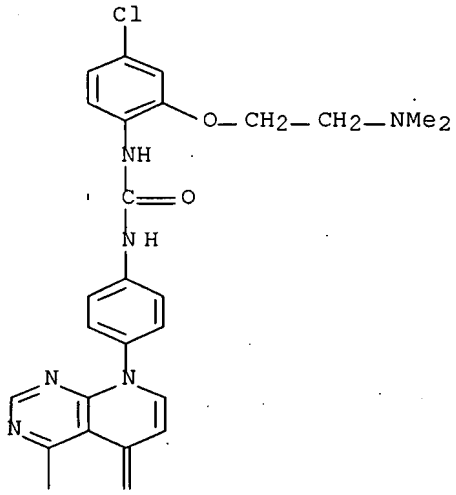
PAGE 1-A



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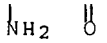

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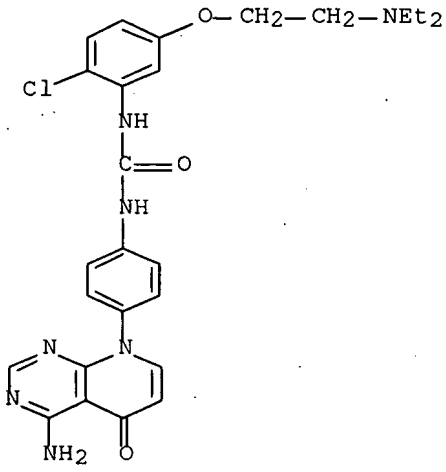

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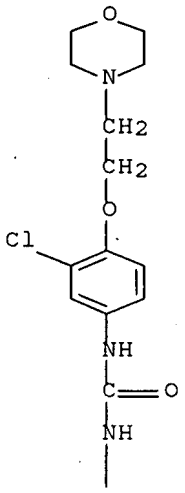

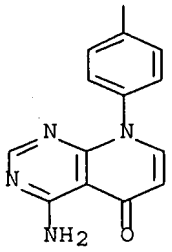

L52 ANSWER 4 OF 4 ZCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2005:1004548 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:299126
TITLE: Methods for altering insulin secretion
INVENTOR(S): Lang, Florian
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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WO 2005084651	A2	20050915	WO 2005-EP1322	20050210
WO 2005084651	A3	20051013		
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IN 2006KN02872	A	20070608	IN 2006-KN2872	20061005
PRIORITY APPLN. INFO.:			EP 2004-5404 A	20040308
			WO 2005-EP1322 W	20050210

AB Modulation of the activity of glucocorticoid inducible kinase SGK1 in pancreatic islet cells restores insulin release. Also disclosed are methods

and compds. useful for the treatment of glucocorticoid induced diabetes mellitus type-2.

IT ***852221-35-3 852221-37-5 852221-39-7***
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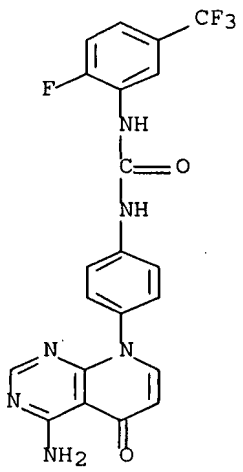
852221-94-4 852221-96-6 852221-98-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods for altering insulin secretion)

RN 852221-35-3 ZCAPLUS

CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



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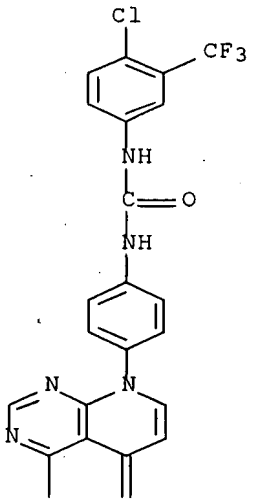

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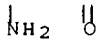

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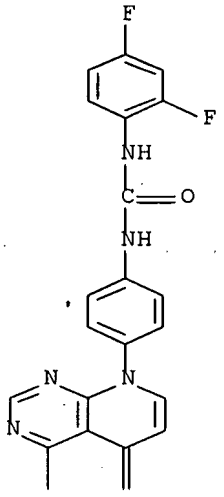
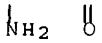
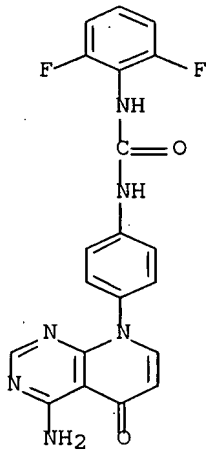
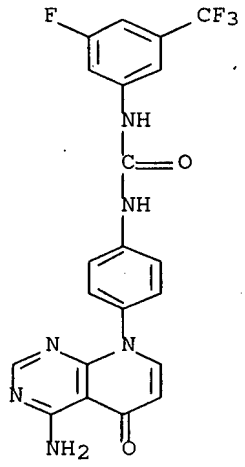




RN 852221-41-1 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,6-difluorophenyl)- (CA INDEX NAME)



RN 852221-43-3 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-45-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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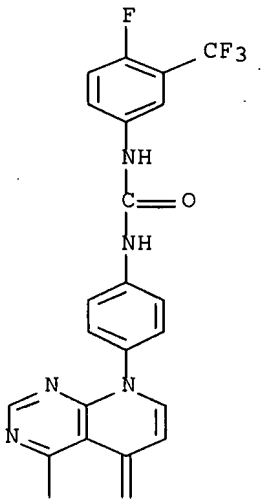

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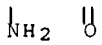

RN 852221-47-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-methyl-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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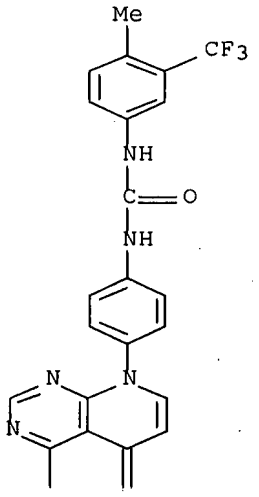

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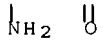

RN 852221-49-9 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,3,4,5,6-pentafluorophenyl)- (CA INDEX NAME)

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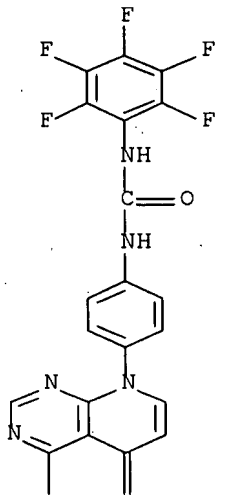

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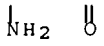

RN 852221-51-3 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,4-dibromo-6-fluorophenyl)- (CA INDEX NAME)

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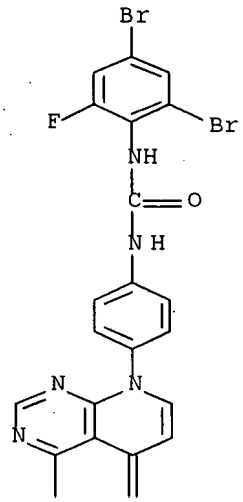

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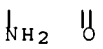
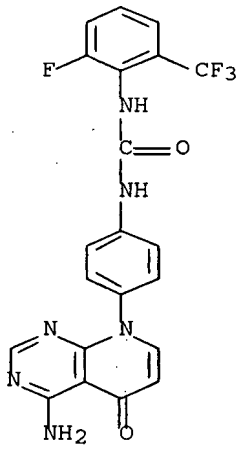
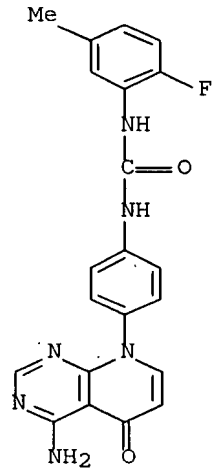


RN 852221-53-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-6-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-55-7 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2-fluoro-5-methylphenyl)- (CA INDEX NAME)



RN 852221-57-9 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

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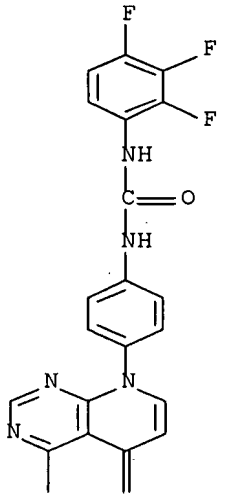

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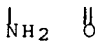

RN 852221-59-1 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-(4-bromo-2,6-difluorophenyl)- (CA INDEX NAME)

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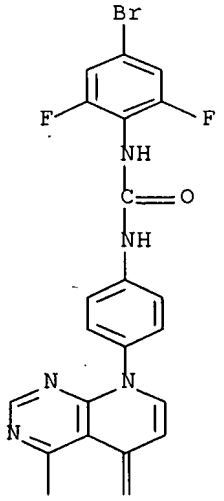

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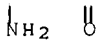
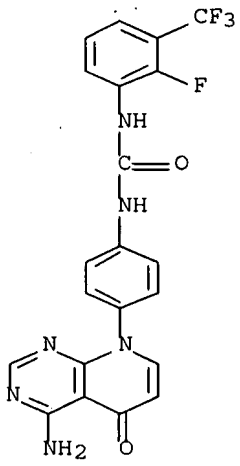
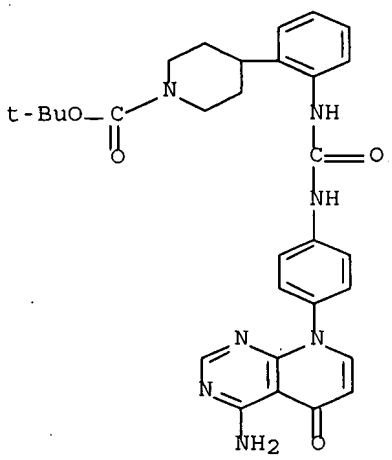


RN 852221-61-5 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

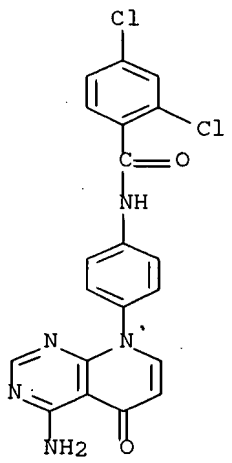


RN 852221-63-7 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[[[[4-(4-amino-5-oxopyrido[2,3-

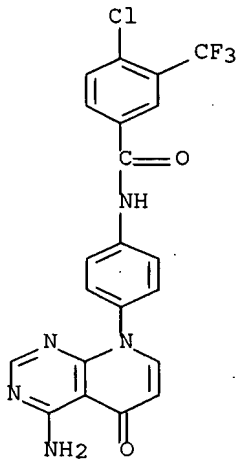
d]pyrimidin-8(5H)-yl)phenyl]amino]carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



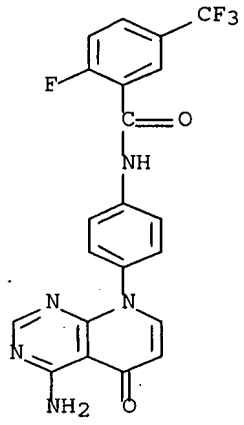
RN 852221-65-9 ZCAPLUS
CN Benzamide, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-2,4-dichloro- (CA INDEX NAME)



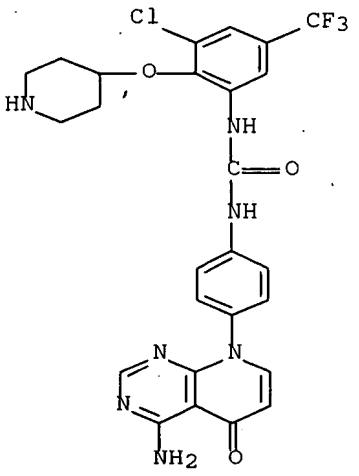
RN 852221-67-1 ZCAPLUS
CN Benzamide, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-4-chloro-3-(trifluoromethyl)- (CA INDEX NAME)



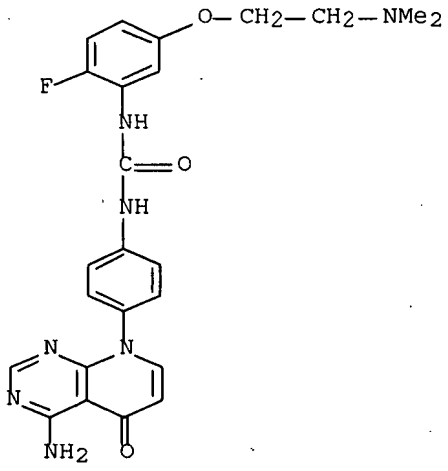
RN 852221-69-3 ZCAPLUS
CN Benzamide, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)



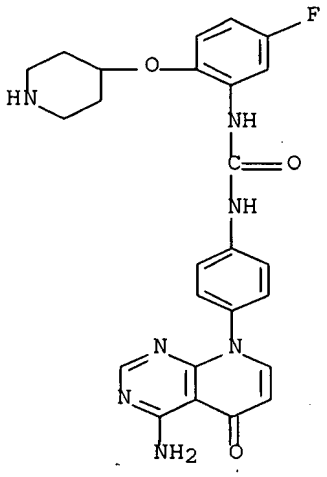
RN 852221-70-6 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-2-(4-piperidinyloxy)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852221-72-8 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-[2-(dimethylamino)ethoxy]-2-fluorophenyl]- (CA INDEX NAME)



RN 852221-74-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-fluoro-2-(4-piperidinyloxy)phenyl]- (CA INDEX NAME)



RN 852221-76-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-chloro-2-(4-piperidinyloxy)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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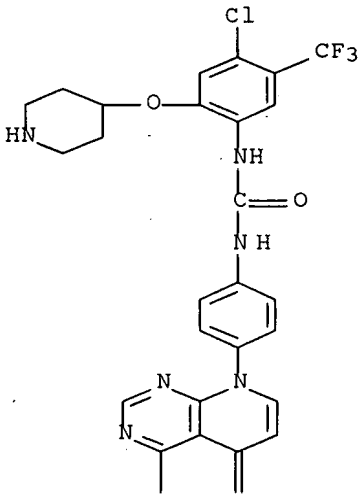

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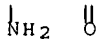
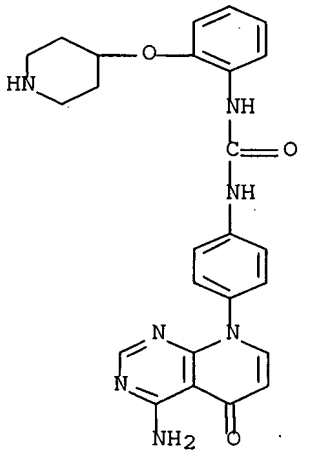
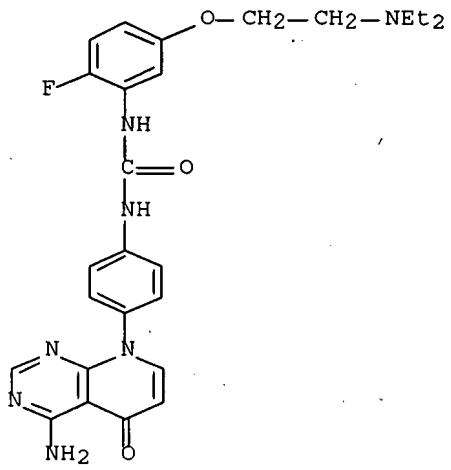


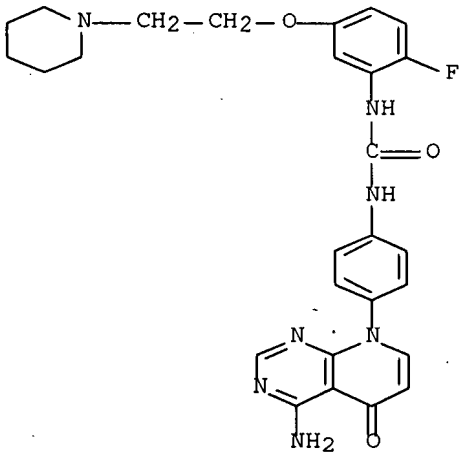
RN 852221-78-4 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-(4-piperidinyloxy)phenyl]- (CA INDEX NAME)



RN 852221-80-8 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[5-[2-(diethylamino)ethoxy]-2-fluorophenyl]- (CA INDEX NAME)



RN 852221-82-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-5-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 852221-84-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-[2-(dimethylamino)ethoxy]-4-fluorophenyl]- (CA INDEX NAME)

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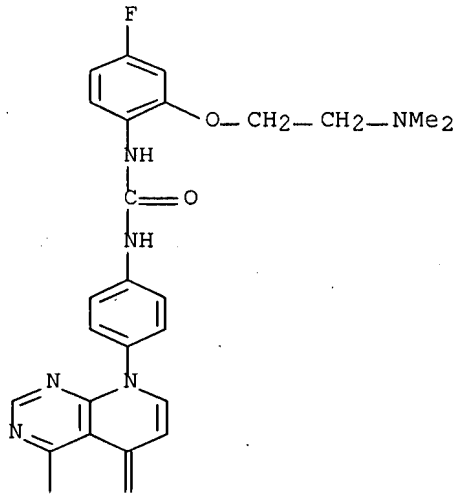

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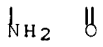

RN 852221-86-4 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-[2-(diethylamino)ethoxy]-4-fluorophenyl]- (CA INDEX NAME)

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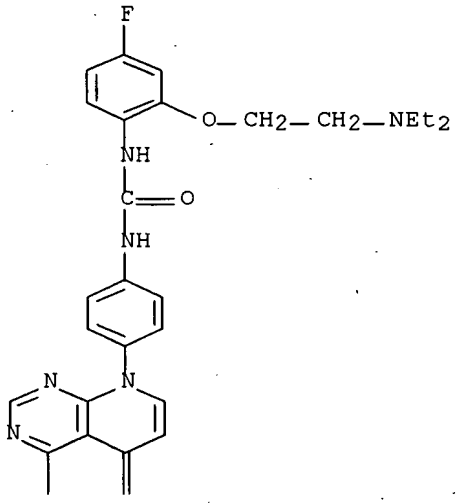

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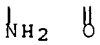
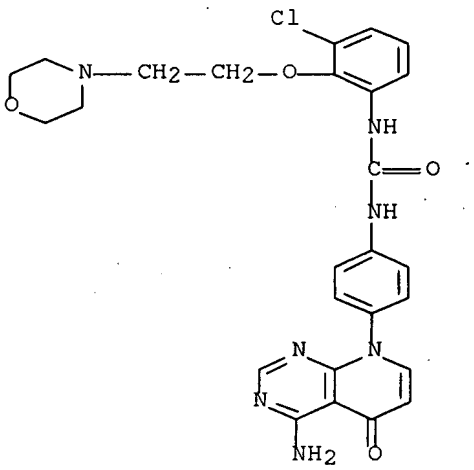


RN 852221-88-6 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-2-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 852221-90-0 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-fluoro-2-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

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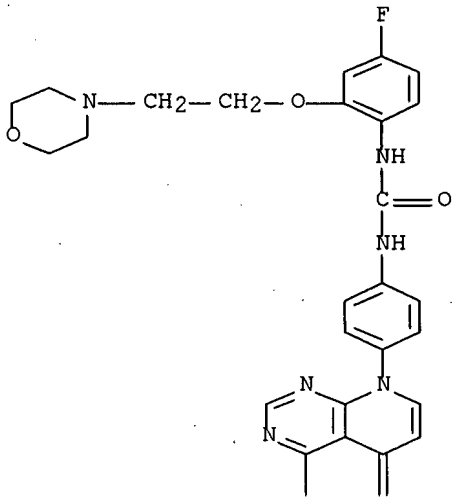

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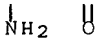

RN 852221-92-2 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-4-[2-(dimethylamino)ethoxy]phenyl]- (CA INDEX NAME)

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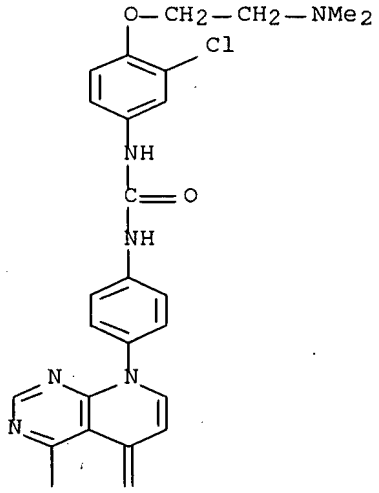

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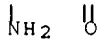

RN 852221-94-4 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-4-[2-(diethylamino)ethoxy]phenyl]- (CA INDEX NAME)

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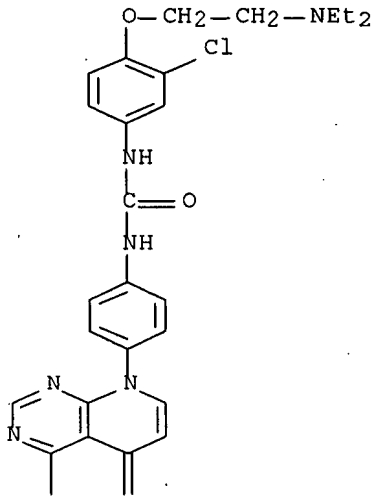

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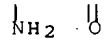

RN 852221-96-6 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[4-chloro-2-[2-(dimethylamino)ethoxy]phenyl]- (CA INDEX NAME)

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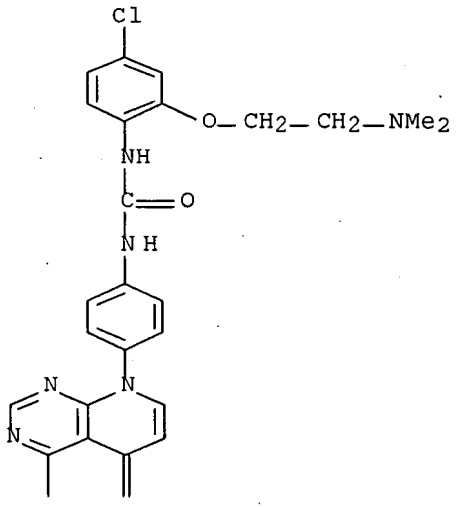
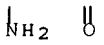
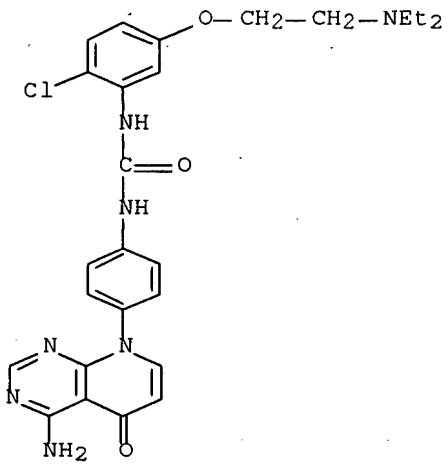


PAGE 2-A



RN 852221-98-8 ZCAPLUS
CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-chloro-5-[2-(diethylamino)ethoxy]phenyl]- (CA INDEX NAME)



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=>  d his full

     (FILE 'HOME' ENTERED AT 14:27:15 ON 12 OCT 2007)

     FILE 'REGISTRY' ENTERED AT 14:27:20 ON 12 OCT 2007
L1                STRUCTURE UPLOADED
L2              3 SEA SSS SAM L1
                  D SCA

     FILE 'ZCAPLUS' ENTERED AT 14:28:44 ON 12 OCT 2007
                  E US2007-579222 /APPS
L3              1 SEA ABB=ON  PLU=ON  US2007-579222 /AP
                  D SCA
                  SEL RN

     FILE 'REGISTRY' ENTERED AT 14:30:29 ON 12 OCT 2007
L4             85 SEA ABB=ON  PLU=ON  (101463-26-7/BI OR 125149-26-0/BI OR
                  131384-38-8/BI OR 139691-76-2/BI OR 144114-21-6/BI OR 144697-16
                  -5/BI OR 148047-29-4/BI OR 150027-19-3/BI OR 150977-45-0/BI OR
                  306960-29-2/BI OR 306960-30-5/BI OR 3473-63-0/BI OR 350-46-9/BI
                   OR 386705-49-3/BI OR 4637-24-5/BI OR 50-84-0/BI OR 5417-82-3/B
                  I OR 69922-27-6/BI OR 80449-02-1/BI OR 852221-35-3/BI OR
                  852221-37-5/BI OR 852221-39-7/BI OR 852221-41-1/BI OR 852221-43
                  -3/BI OR 852221-45-5/BI OR 852221-47-7/BI OR 852221-49-9/BI OR
                  852221-51-3/BI OR 852221-53-5/BI OR 852221-55-7/BI OR 852221-57
                  -9/BI OR 852221-59-1/BI OR 852221-61-5/BI OR 852221-63-7/BI OR
                  852221-65-9/BI OR 852221-67-1/BI OR 852221-69-3/BI OR 852221-70
                  -6/BI OR 852221-72-8/BI OR 852221-74-0/BI OR 852221-76-2/BI OR
                  852221-78-4/BI OR 852221-80-8/BI OR 852221-82-0/BI OR 852221-84
                  -2/BI OR 852221-86-4/BI OR 852221-88-6/BI OR 852221-90-0/BI OR
                  852221-92-2/BI OR 852221-94-4/BI OR 852221-96-6/BI OR 852221-98
                  -8/BI OR 852222-00-5/BI OR 852222-02-7/BI OR 852222-04-9/BI OR
                  852222-06-1/BI OR 852222-08-3/BI OR 852222-10-7/BI OR 852222-12
                  -9/BI OR 852222-14-1/BI OR 852222-16-3/BI OR 852222-18-5/BI OR
                  852222-19-6/BI OR 852222-21-0/BI OR 852222-23-2/BI OR 852222-25
                  -4/BI OR 852222-26-5/BI OR 852222-27-6/BI OR 852222-28-7/BI OR
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                  -5/BI OR 852222-36-7/BI OR 852222-37-8/BI OR 852222-39-0/BI OR
                  852222-40-3/BI OR 852222-42-5/BI OR 852222-44-7/BI OR 852222-46
                  -9/BI OR 852222-48-1/BI OR 9028-35-7/BI OR 9068-38-6/BI OR
                  98645-41-1/BI OR 98651-70-8/BI)
                  D STAT QUE L2
L5                STRUCTURE UPLOADED
L6              3 SEA SSS SAM L5
L7             66 SEA SSS FUL L5
                  SAVE TEMP L7 JAI222STR5L/A

     FILE 'ZCAPLUS' ENTERED AT 14:35:50 ON 12 OCT 2007
L8              6 SEA ABB=ON  PLU=ON  L7

     FILE 'REGISTRY' ENTERED AT 14:35:59 ON 12 OCT 2007
                  D SCA L7

     FILE 'BEILSTEIN' ENTERED AT 14:37:33 ON 12 OCT 2007
L9              0 SEA SSS SAM L5
L10             0 SEA SSS FUL L5

     FILE 'MARPAT' ENTERED AT 14:37:56 ON 12 OCT 2007
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L11           0 SEA SSS SAM L5
L12           5 SEA SSS FUL L5

     FILE 'ZCAPLUS' ENTERED AT 14:38:17 ON 12 OCT 2007
L13          83 SEA ABB=ON  PLU=ON  HOELZEMANN G?/AU
L14          13 SEA ABB=ON  PLU=ON  CRASSIER H?/AU
L15         200 SEA ABB=ON  PLU=ON  ACKERMANN K?/AU
L16          31 SEA ABB=ON  PLU=ON  STAEHLE W?/AU
L17         286 SEA ABB=ON  PLU=ON  JONCZYK A?/AU
L18          52 SEA ABB=ON  PLU=ON  RAUTENBERG W?/AU
L19          21 SEA ABB=ON  PLU=ON  MITJANS F?/AU
                E ROSELL V/AU
                E ROSELL E/AU
L20          17 SEA ABB=ON  PLU=ON  ROSELL E?/AU OR ROSELL VIVES?/AU
L21          21 SEA ABB=ON  PLU=ON  ADAN J?/AU
                E SOLERR/AU
                E SOLER R/AU
                E SOLER RI/AU
                E SOLER M/AU
L22         248 SEA ABB=ON  PLU=ON  SOLER M?/AU OR SOLER RIERA?/AU
L23          39 SEA ABB=ON  PLU=ON  L13 AND (L14 OR L15 OR L16 OR L17 OR L18
                OR L19 OR L20 OR L21 OR L22)
L24          10 SEA ABB=ON  PLU=ON  L14 AND (L15 OR L16 OR L17 OR L18 OR L19
                OR L20 OR L21 OR L22)
L25           5 SEA ABB=ON  PLU=ON  L15 AND (L16 OR L17 OR L18 OR L19 OR L20
                OR L21 OR L22)
L26          16 SEA ABB=ON  PLU=ON  L16 AND (L17 OR L18 OR L19 OR L20 OR L21
                OR L22)
L27          15 SEA ABB=ON  PLU=ON  L17 AND (L18 OR L19 OR L20 OR L21 OR L22)
L28           5 SEA ABB=ON  PLU=ON  L18 AND (L19 OR L20 OR L21 OR L22)
L29          10 SEA ABB=ON  PLU=ON  L19 AND (L20 OR L21 OR L22)
L30           9 SEA ABB=ON  PLU=ON  L20 AND (L21 OR L22)
L31           4 SEA ABB=ON  PLU=ON  L21 AND L22
L32          13 SEA ABB=ON  PLU=ON  L23 AND (L24 OR L25 OR L26 OR L27 OR L28
                OR L29 OR L30 OR L31)
L33           8 SEA ABB=ON  PLU=ON  L24 AND (L25 OR L26 OR L27 OR L28 OR L29
                OR L30 OR L31)
L34           4 SEA ABB=ON  PLU=ON  L25 AND (L26 OR L27 OR L28 OR L29 OR L30
                OR L31)
L35           8 SEA ABB=ON  PLU=ON  L26 AND (L27 OR L28 OR L29 OR L30 OR L31)
L36           5 SEA ABB=ON  PLU=ON  L27 AND (L28 OR L29 OR L30 OR L31)
L37           5 SEA ABB=ON  PLU=ON  L28 AND (L29 OR L30 OR L31)
L38           6 SEA ABB=ON  PLU=ON  L29 AND (L30 OR L31)
L39           4 SEA ABB=ON  PLU=ON  L30 AND L31
L40          18 SEA ABB=ON  PLU=ON  (L32 OR L33 OR L34 OR L35 OR L36 OR L37 OR
                L38 OR L39)
L41           2 SEA ABB=ON  PLU=ON  L8 AND (L13 OR L14 OR L15 OR L16 OR L17 OR
                L18 OR L19 OR L20 OR L21 OR L22)
L42          16 SEA ABB=ON  PLU=ON  L40 NOT L41

     FILE 'MARPAT' ENTERED AT 14:46:33 ON 12 OCT 2007
L43           3 SEA ABB=ON  PLU=ON  L12 AND (L13 OR L14 OR L15 OR L16 OR L17
                OR L18 OR L19 OR L20 OR L21 OR L22)
                D COST

     FILE 'WPIX' ENTERED AT 14:47:28 ON 12 OCT 2007
L44           7 SEA SSS SAM L5
L45          65 SEA SSS FUL L5
L46           5 SEA ABB=ON  PLU=ON  L45/DCR
L47           2 SEA ABB=ON  PLU=ON  L46 AND (L13 OR L14 OR L15 OR L16 OR L17
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                OR L18 OR L19 OR L20 OR L21 OR L22)

     FILE 'STNGUIDE' ENTERED AT 14:48:27 ON 12 OCT 2007

     FILE 'REGISTRY' ENTERED AT 14:48:38 ON 12 OCT 2007

     FILE 'ZCAPLUS' ENTERED AT 14:48:41 ON 12 OCT 2007
                D STAT QUE L42
                D STAT QUE L41

     FILE 'MARPAT' ENTERED AT 14:49:02 ON 12 OCT 2007
                D STAT QUE L43

     FILE 'WPIX' ENTERED AT 14:49:10 ON 12 OCT 2007
                D STAT QUE L47

     FILE 'STNGUIDE' ENTERED AT 14:49:19 ON 12 OCT 2007

     FILE 'ZCAPLUS, WPIX' ENTERED AT 14:50:12 ON 12 OCT 2007
L48           18 DUP REM L41 L42 L47 (2 DUPLICATES REMOVED)
                     ANSWERS '1-18' FROM FILE ZCAPLUS
                D IBIB ABS HITSTR L41 TOT
                D IBIB ABS L42 TOT

     FILE 'MARPAT' ENTERED AT 14:51:35 ON 12 OCT 2007
                D IBIB ABS QHIT L43 TOT

     FILE 'ZCAPLUS, WPIX' ENTERED AT 14:51:39 ON 12 OCT 2007

     FILE 'REGISTRY' ENTERED AT 14:51:54 ON 12 OCT 2007

     FILE 'ZCAPLUS' ENTERED AT 14:51:59 ON 12 OCT 2007
                D STAT QUE L8
L49            4 SEA ABB=ON  PLU=ON  L8 NOT (L41 OR L42)

     FILE 'BEILSTEIN' ENTERED AT 14:52:21 ON 12 OCT 2007
                D STAT QUE L10

     FILE 'MARPAT' ENTERED AT 14:52:31 ON 12 OCT 2007
                D STAT QUE L12
L50            2 SEA ABB=ON  PLU=ON  L12 NOT L43
                D STAT QUE L46
                D STAT QUE L47

     FILE 'WPIX' ENTERED AT 14:53:34 ON 12 OCT 2007
                D STAT QUE L46
L51            3 SEA ABB=ON  PLU=ON  L46 NOT L47

     FILE 'STNGUIDE' ENTERED AT 14:53:52 ON 12 OCT 2007

     FILE 'ZCAPLUS, WPIX, MARPAT' ENTERED AT 14:54:05 ON 12 OCT 2007
L52            4 DUP REM L49 L10 L51 L50 (5 DUPLICATES REMOVED)
                     ANSWERS '1-4' FROM FILE ZCAPLUS
                D IBIB ABS HITSTR L52 1-4


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
```

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STRUCTURE FILE UPDATES: 11 OCT 2007 HIGHEST RN 950420-07-2
DICTIONARY FILE UPDATES: 11 OCT 2007 HIGHEST RN 950420-07-2

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FILE ZCAPLUS

FILE COVERS 1907 - 12 Oct 2007 VOL 147 ISS 17
FILE LAST UPDATED: 11 Oct 2007 (20071011/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE LAST UPDATED ON September 26, 2007

FILE COVERS 1771 TO 2007.
FILE CONTAINS 10.119,480 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

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  COMPOUND AT A GLANCE.

FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 147 ISS 14 (20071005/ED)

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(COVERAGE TO THESE DATES IS NOT COMPLETE):

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DE 102006038325 16 AUG 2007
EP      1820789 22 AUG 2007
JP   2007213924 23 AUG 2007
WO   2007098716 07 SEP 2007
GB      2435041 15 AUG 2007
FR      2897532 24 AUG 2007
RU      2304584 20 AUG 2007
CA      2579188 17 AUG 2007

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FILE WPIX
FILE LAST UPDATED:                    8 OCT 2007   <20071008/UP>
MOST RECENT THOMSON SCIENTIFIC UPDATE:    200764     <200764/DW>
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>>> Indian patent publication number format enhanced in DWPI - see NEWS <<

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FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
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LAST RELOADED: Oct 5, 2007 (20071005/UP).

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